SEARCH REQUEST FORM

Requestor's Name: _____ Serial Number: _____

Date: _____ Phone: _____ Art Unit: _____

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

STAFF USE ONLY

Date completed: 06-07-04

Searcher: Beverly E 2528

Terminal time: _____

Elapsed time: _____

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: 1

Search Site

_____ STIC

_____ CM-1

_____ Pre-S

Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

_____ Structure

Vendors

_____ IG

L STN

_____ Dialog

_____ APS

_____ Geninfo

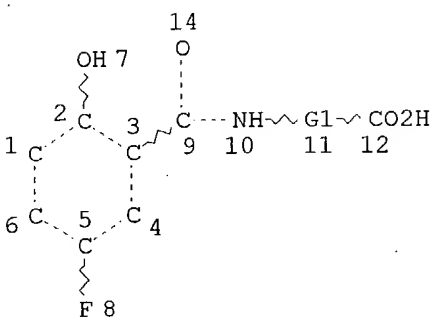
_____ SDC

_____ DARC/Questel

Audet, M.
09/762067

FILE 'REGISTRY' ENTERED AT 09:01:35 ON 07 JUN 2004

L1 STR



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DEFAULT ECLEVEL IS LIMITED

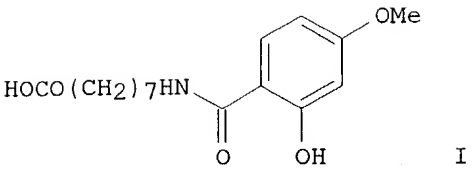
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L3 3 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 583 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

(FILE 'CAPLUS' ENTERED AT 09:02:51 ON 07 JUN 2004)
L4 2 S L3

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:549162 CAPLUS
DOCUMENT NUMBER: 136:107380
TITLE: Oral delivery of biologically active parathyroid hormone
AUTHOR(S): Leone-Bay, Andrea; Sato, Masahiko; Paton, Duncan; Hunt, Ann H.; Sarubbi, Donald; Carozza, Monica; Chou, James; McDonough, James; Baughman, Robert A.
CORPORATE SOURCE: Emisphere Technologies, Inc., Tarrytown, NY, 10591, USA
SOURCE: Pharmaceutical Research (2001), 18(7), 964-970
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



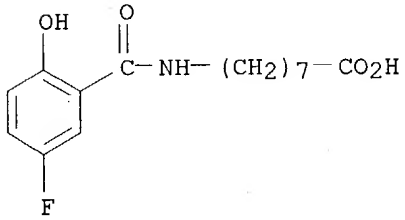
I

AB Parathyroid hormone (PTH), the only drug known to stimulate bone formation, is a peptide therapeutic indicated in the treatment of osteoporosis. Unfortunately, PTH is only effective when dosed by injection because it has no oral bioavailability. Herein we report the oral absorption of PTH in rats and monkeys facilitated by the novel delivery agent, N-[8-(2-hydroxy-4-methoxy)bensoyl]aminocaprylic acid (I). I was selected from a group of 100 delivery agents based on in vitro chromatog. studies and in vivo screening studies in rats. The PTH/I combination was then tested in monkeys. The interaction of I and PTH was evaluated by NMR spectroscopy. Monkeys were administered an aqueous solution containing I and PTH and mean peak serum PTH concns. of about 3000 pg/mL were obtained. The relative bioavailability of oral PTH was 2.1% relative to s.c. administration. The biol. activity of the orally-delivered PTH was further evaluated in a rat model of osteoporosis. These studies showed that the bone formed following oral PTH/I administration was comparable to that formed following PTH injections. The I mediated absorption of PTH is hypothesized to be the result of a noncovalent interaction between I and PTH. The preliminary evaluation of this interaction by NMR is described. I facilitates the absorption of PTH following oral administration to both rats and monkeys. The orally-absorbed PTH is biol. active as demonstrated in a rat model of osteoporosis.

IT **257951-76-1**
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(oral delivery of biol. active parathyroid hormone)

RN 257951-76-1 CAPLUS

CN Octanoic acid, 8-[(5-fluoro-2-hydroxybenzoyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Searcher : Shears 571-272-2528

APPLIC.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:117018 CAPLUS
DOCUMENT NUMBER: 132:151567
TITLE: Preparation of arylamidoalkylcarboxylic acids and compositions for delivering active agents.
INVENTOR(S): Gschneidner, David; Leone-Bay, Andrea; Wang, Eric; Errigo, Lynn; Kraft, Kelly; Moye-Sherman, Destardi; Ho, Koc-Kan; Press, Jeffrey Bruce; Wang, Nai Fang
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007979	A2	20000217	WO 1999-US17974	19990806
WO 2000007979	A3	20000518		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339765	AA	20000217	CA 1999-2339765	19990806
AU 9954711	A1	20000228	AU 1999-54711	19990806
EP 1102742	A2	20010530	EP 1999-940967	19990806
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BR 9912975	A	20010925	BR 1999-12975	19990806
TR 200100366	T2	20011121	TR 2001-200100366	19990806
JP 2002522413	T2	20020723	JP 2000-563614	19990806
NZ 509410	A	20030829	NZ 1999-509410	19990806
ZA 2001000470	A	20010820	ZA 2001-470	20010117

PRIORITY APPLN. INFO.:

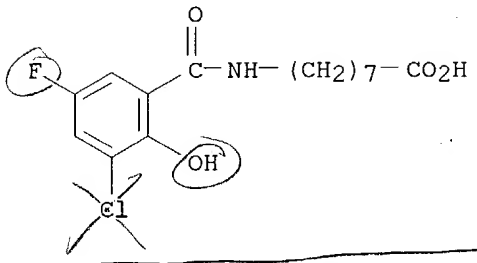
US 1998-95778P	P	19980807
US 1998-98500P	P	19980831
US 1998-108366P	P	19981113
US 1999-119207P	P	19990205
WO 1999-US17974	W	19990806

AB 135 Title compds. are claimed. Thus, Me azeloyl chloride was added dropwise to 2-amino-p-cresol in aqueous NaOH at 0° to give a residue which was stirred with aqueous NaOH in THF to give 4-HO-5-MeC6H3NHCO(CH2)7CO2H. Title compds. at 100-300 mg/kg with parathyroid hormone at 25-200 μg orally or intracolonically in rats gave peak serum parathyroid hormone levels of 5-1459.71 pg/mL.

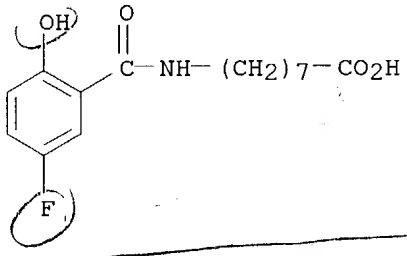
IT **257951-69-2P 257951-76-1P 257951-78-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylamidoalkylcarboxylic acids and compns. for delivering active agents)

Searcher : Shears 571-272-2528

RN 257951-69-2 CAPLUS
CN Octanoic acid, 8-[(3-chloro-5-fluoro-2-hydroxybenzoyl)amino]- (9CI) (CA INDEX NAME)

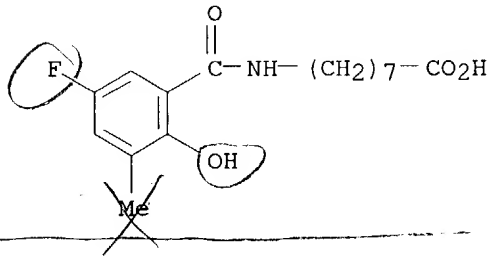


RN 257951-76-1 CAPLUS
CN Octanoic acid, 8-[(5-fluoro-2-hydroxybenzoyl)amino]- (9CI) (CA INDEX NAME)



CLAIM 54
Elected

RN 257951-78-3 CAPLUS
CN Octanoic acid, 8-[(5-fluoro-2-hydroxy-3-methylbenzoyl)amino]- (9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 09:10:44 ON 07 JUN 2004
L5 0 S L3

FILE 'USPATFULL' ENTERED AT 09:10:48 ON 07 JUN 2004
L6 4 S L3

L6 ANSWER 1 OF 4 USPATFULL on STN
ACCESSION NUMBER: 2002:126738 USPATFULL
TITLE: Disodium salts, monohydrates, and ethanol solvates for delivering active agents
INVENTOR(S): Bay, William E., Ridgefield, CT, UNITED STATES

Searcher : Shears 571-272-2528

Agarwal, Rajesh K., Yorktown Heights, NY, UNITED STATES
Chaudhary, Kiran, West Nyack, NY, UNITED STATES
Majuru, Shingai, Brewster, NY, UNITED STATES
Goldberg, Michael M., Englewood, NJ, UNITED STATES
Russo, JoAnne P., Harrison, NY, UNITED STATES
PATENT ASSIGNEE(S): EMISPHERE TECHNOLOGIES, INC., Tarrytown, NY, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002065255	A1	20020530
APPLICATION INFO.:	US 2001-962794	A1	20010924 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-US9390, filed on 5 Apr 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-127754P	19990405 (60)
	US 2000-186143P	20000301 (60)
	US 2000-186142P	20000301 (60)
	US 2000-191286P	20000321 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 28
EXEMPLARY CLAIM: 1
LINE COUNT: 1642
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The inventors have discovered that the disodium salt of certain delivery agents has surprisingly greater efficacy for delivering active agents than the corresponding monosodium salt. Furthermore, the inventors have discovered that the disodium salts of these delivery agents form solvates with ethanol and hydrates with water. The delivery agents have the formula ##STR1##

wherein

R.sup.1, R.sup.2, R.sup.3, and R.sup.4 are indepedently hydrogen, halogen, C.sub.1-C.sub.4 alkyl, or C.sub.1-C.sub.4 alkoxy; and

R.sup.5 is a substitued or unsubstituted C.sub.2-C.sub.16 alkylene, substituted or unsubstituted C.sub.2-C.sub.16 alkenylene, substituted or unsubstituted C.sub.1-C.sub.12 alkyl(arylene), or substituted or unsubstituted aryl(C.sub.1-C.sub.12 alkylene). The hydrates and solvates of present invention also have surprisingly greater efficacy for delivering active agents, such as heparin and calcitonin, than their corresponding monosodium salts and free acids. The present invention provides an alcohol solvate, such as ethanol solvate, of a disodium salt of a delivery agent of the formula above. The invention also provides a hydrate of a disodium salt of a delivery agent of the formula above. Preferred delivery agents include, but are not limited to, N-(5-chlorosalicyloyl)-8-aminocaprylic acid

(5-CNAC), N-(10-[2-hydroxybenzoyl]amino)decanoic acid (SNAD), and sodium N-(8-[2-hydroxybenzoyl]amino)caprylate (SNAC). The invention also provides methods of preparing the disodium salt, ethanol solvate, and hydrate and compositions containing the disodium salt, ethanol solvate, and/or hydrate.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 2 OF 4 USPATFULL on STN

ACCESSION NUMBER:	2002:51629 USPATFULL		
TITLE:	Progressive power lens and mold for producing same		
INVENTOR(S):	Shirayanagi, Moriyasu, Tokyo, JAPAN		
PATENT ASSIGNEE(S):	Asahi Kogaku Kogyo Kabushiki Kaisha, Tokyo, JAPAN (non-U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6356373	B1	20020312
APPLICATION INFO.:	US 1998-98500		19980617 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-517438, filed on 21 Aug 1995, now patented, Pat. No. US 5844657		
	NUMBER		DATE
PRIORITY INFORMATION:	JP 1994-197019		19940822
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Sugarman, Scott J.		
LEGAL REPRESENTATIVE:	Greenblum & Bernstein, P.L.C.		
NUMBER OF CLAIMS:	4		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	28 Drawing Figure(s); 14 Drawing Page(s)		
LINE COUNT:	516		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A progressive power lens has an effective surface including a progressive surface portion which progressively varies the power, and a peripheral rim surface portion which does not function as an effective surface and which is provided to surround the progressive surface portion. The rim surface portion is made of a curved surface. The invention is also directed to a mold which is used to produce a progressive power lens. The mold includes a progressive surface which progressively varies the power and a rim surface forming portion which forms a rim surface portion of the lens which does not function as a progressive surface. The rim surface forming portion is made of a curved surface.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 3 OF 4 USPATFULL on STN

ACCESSION NUMBER:	2002:22661 USPATFULL
TITLE:	Method of preparing alkylated salicylamides
INVENTOR(S):	Gschneidner, David, Stamford, CT, UNITED STATES Bernadino, Joseph N., Stamford, CT, UNITED STATES Bay, William E., Ridgefield, CT, UNITED STATES
PATENT ASSIGNEE(S):	EMISPHERE TECHNOLOGIES, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002013497	A1	20020131
	US 6399798	B2	20020604
APPLICATION INFO.:	US 2001-922961	A1	20010803 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-US3189, filed on 4 Feb 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-119207P	19990205 (60)
	US 1999-127754P	19990405 (60)
	US 1999-173989P	19991230 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 913
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method of preparing an alkylated salicylamide from a protected and activated salicylamide (hereinafter referred to as a "protected/activated salicylamide"). The method comprises the steps of (a) alkylating the protected/activated salicylamide with an alkylating agent to form a protected/activated alkylated salicylamide, and (b) deprotecting and deactivating the protected/activated alkylated salicylamide, simultaneously or in any order, to form the alkylated salicylamide. The alkylated salicylamides prepared by this method are suitable for use in compositions for delivering active agents via oral or other routes of administration to animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 4 OF 4 USPATFULL on STN
ACCESSION NUMBER: 2001:40981 USPATFULL
TITLE: Master automotive sensor tester
INVENTOR(S): Johnson, Arthur D., Muskego, WI, United States
PATENT ASSIGNEE(S): Echlin, Inc., Branford, CT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6204770	B1	20010320
APPLICATION INFO.:	US 1998-95778		19980611 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Wu, Daniel J.
ASSISTANT EXAMINER: La, Anh
LEGAL REPRESENTATIVE: St. Onge Steward Johnston & Reens LLC
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 7 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT: 587

Searcher : Shears 571-272-2528

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A sensor tester for testing multiple vehicle sensors is provided comprising: a circuit for testing a vehicle piezoelectric knock sensor; a circuit for testing a vehicle speed sensor; and a circuit for testing ignition coils. The circuit for testing piezoelectric knock sensors comprises: an integrated circuit electrically connectable to a power source, the integrated circuit having a multiple step voltage divider, a connector for connecting the integrated circuit to the knock sensor; and a plurality of light emitting diodes electrically connected to the voltage divider of the integrated circuit. The circuit for testing vehicle speed sensors comprises: a voltage divider for limiting the voltage of a power source to a reference voltage; a voltage comparator having a first input, a second input and an output, the first input electrically connected to the voltage divider, the second input electrically connected to the speed sensor; and a voltage transition detector for detecting a voltage transition from the output of the voltage comparator. The circuit for testing ignition coils that have a primary coil and a secondary coil comprises: capacitance means electrically connectable in a loop with a power source and the primary coil; a first voltage indicator electrically connected in series with a side of the secondary winding and electrically connectable to the power source; a second voltage indicator for detecting a voltage across the capacitance means; a current interrupter electrically connected in parallel with the capacitance means; a first connector for connecting the power source in series with the primary coil; and a second connector for connecting the power source in series with the secondary coil.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

(FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:11:15 ON 07 JUN 2004)

L7 0 S L3

(FILE 'MARPAT' ENTERED AT 09:11:38 ON 07 JUN 2004)

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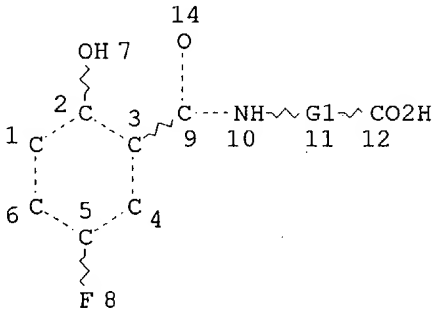

REP G1=(7-7) C
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GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
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ALL RING(S) ARE ISOLATED

L9 3 SEA FILE=MARPAT SSS FUL L1 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 8230 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.30

L9 ANSWER 1 OF 3 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 135:257041 MARPAT
TITLE: Preparation of alkylated salicylamides via a dicarboxylate intermediate
INVENTOR(S): Bernadino, Joseph N.; O'Toole, Doris C.; Bay, William E.
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070219	A1	20010927	WO 2001-US9154	20010321
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EP 1284724	A1	20030226	EP 2001-959913	20010321
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US 2003096992	A1	20030522	US 2002-239477	20020920
PRIORITY APPLN. INFO.:			US 2000-191284P	20000321
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			WO 2001-US9154	20010321

OTHER SOURCE(S): CASREACT 135:257041
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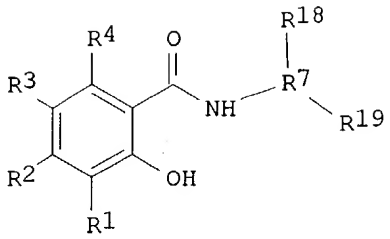

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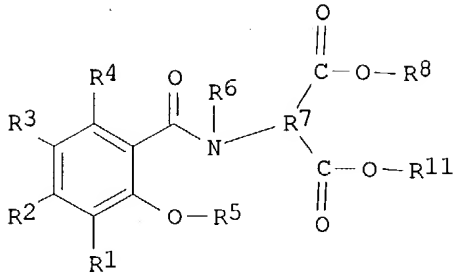


II

AB An alkylation process for preparing an alkylated salicylamide I (R1, R2, R3, R4 = H, halogen, optionally F or OH substituted C1-C4 alkoxy, COOH, OC(O)CH3, SO3H, CN; R7 = linear or branched, C1-C20 alkylene, alkenylene, or alkynylene; R7 is optionally substituted with C1-C4 alkyl, C1-C4 alkenyl, O, N, S, halogen, OH, C1-C4 alkoxy, aryl, heteroaryl, or vinyl; R7 is optionally interrupted with aryl, heteroaryl, vinyl, O, N, or S; R18, R19 = carboxyl or salt thereof, carboxylate, CN, halogen, ester, amine or salt thereof, alc., or thiol, or H, where at least one of R18 and R19 is not H) from a protected and activated salicylamide via a dicarboxylated salicylamide intermediate II (R1-R4 and R7 as defined above, and R5 = protecting group, R6 = activating group; R5R6 = atoms to complete a substituted or unsubstituted cyclic group; R8, R11 = C1-C4 alkyl or C1-C4 haloalkyl; R9, R10 = H, C1-C4 alkyl, or O). Thus, 2H-1,3-benzoxazine-2,4-(3H)-dione was alkylated with 2-(8-bromooctyl)malonic acid di-Et ester to give II (R1, R2, R3, R4 = H; R5R6 = CO; R7 = (CH2)6CH; R8, R11 = Et), which was hydrolyzed and decarboxylated to give I (R18 = COOH; R19 = H). The alkylated salicylamides prepared are useful in compns. for delivering active agents via oral or other routes of administration to animals. The present invention also relates to dicarboxylic salicylamide delivery agent compds. for the delivery of active agents. Methods of administration are provided as well.

IC ICM A61K031-195
ICS C07D265-12; C07C229-00; C07C233-00; C07C235-00; C07C237-00; C07C239-00

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 63

ST carboxyalkylsalicylamide prepn; salicylamide carboxyalkyl prepn; benzoxazinedione N alkylation bromoalkylmalonate

IT Drug delivery systems
(carriers; preparation of alkylated salicylamides for use as delivery agent compds. for the delivery of active agents)

IT Carboxylic acids, preparation
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dicarboxylic; preparation of alkylated salicylamides by alkylation of protected and activated salicylamides, followed by hydrolysis and decarboxylation)

IT Alkylation

Decarboxylation
Hydrolysis
(preparation of alkylated salicylamides by alkylation of protected and activated salicylamides, followed by hydrolysis and decarboxylation)

IT Amides, preparation
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(salicylamides; preparation of alkylated salicylamides by alkylation of protected and activated salicylamides, followed by hydrolysis and decarboxylation)

IT 2037-95-8, Carsalam 6557-85-3 77011-21-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of benzoxazine with bromoalkylmalonate)

IT 183990-46-7P 183990-65-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sodium salt formation of)

IT 183990-61-6P 203787-91-1P 204852-64-2P 204852-67-5P
257952-20-8P 264602-55-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation for use as delivery agent compds. for the delivery of active agents)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 3 MARPAT COPYRIGHT 2004 ACS on STN

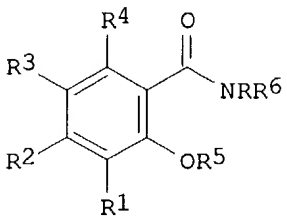
ACCESSION NUMBER: 133:163951 MARPAT
TITLE: Preparation of N-(ω-carboxyalkyl)salicylamides
INVENTOR(S): Gschneidner, David; Bernadino, Joseph N.; Bay, William E.
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046182	A1	20000810	WO 2000-US3189	20000204
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1149066	A1	20011031	EP 2000-911725	20000204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,				

PT, IE, SI, LT, LV, FI, RO

US 2002013497 A1 20020131 US 2001-922961 20010803
US 6399798 B2 20020604
PRIORITY APPLN. INFO.: US 1999-119207P 19990205
US 1999-127754P 19990405
US 1999-173989P 19991230
WO 2000-US3189 20000204
OTHER SOURCE(S): CASREACT 133:163951

GI



I

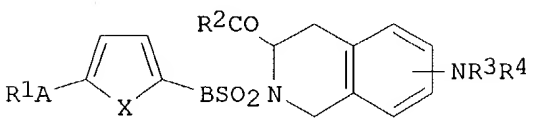
AB The title process utilizes protected/activated (sic) salicylamides I [R = H; R1-R4 = H, halo, alkyl, alkoxy, etc.; R5 = protecting group; R6 = activating group (sic); R5R6 = atoms to complete a ring]. Thus, salicylamide was converted to I (R1-R4 = H, R5R6 = CO)(II; R = H) which was N-alkylated by Br(CH2)6CN to give II [R = (CH2)6CN]. The latter was hydrolized in 2 steps to I [R = (CH2)6CO2H, R1-R6 = H].

IC ICM C07C229-14
ICS C07D265-26
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
ST carboxyalkylsalicylamide prepn; salicylamide carboxyalkyl prepn; benzoxazinedione N alkylation
IT Alkylation
(preparation of N-(ω-carboxyalkyl)salicylamides)
IT 2037-95-8P, 2H-1,3-Benzoxazine-2,4(3H)-dione 4897-84-1P
24088-81-1P, 6-Chloro-2H-1,3-Benzoxazine-2,4(3H)-dione
287935-35-7P 287935-36-8P 287935-37-9P 287935-38-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(ω-carboxyalkyl)salicylamides)
IT 183990-46-7P 183990-61-6P 183990-65-0P 204852-67-5P
257952-20-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-(ω-carboxyalkyl)salicylamides)
IT 65-45-2 2623-87-2, 4-Bromobutyric acid 7120-43-6, 5-Chlorosalicylamide 20965-27-9, 7-Bromoheptanenitrile 29823-21-0, Ethyl 8-bromooctanoate 55099-31-5, Ethyl 10-bromodecanoate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(ω-carboxyalkyl)salicylamides)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

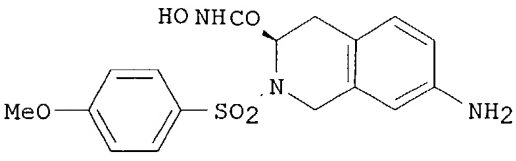
L9 ANSWER 3 OF 3 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 130:13925 MARPAT
TITLE: Substituted 6- and 7-aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors
INVENTOR(S): Schudok, Manfred
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19719817	A1	19981119	DE 1997-19719817	19970513
EP 878467	A1	19981118	EP 1998-108039	19980502
EP 878467	B1	20011031		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 207903	E	20011115	AT 1998-108039	19980502
ES 2166577	T3	20020416	ES 1998-108039	19980502
PT 878467	T	20020429	PT 1998-108039	19980502
US 5962471	A	19991005	US 1998-75186	19980511
CA 2237382	AA	19981113	CA 1998-2237382	19980512
CN 1199045	A	19981118	CN 1998-108315	19980512
BR 9803694	A	20000321	BR 1998-3694	19980512
RU 2212405	C2	20030920	RU 1998-109049	19980512
AU 9865938	A1	19981119	AU 1998-65938	19980513
AU 731079	B2	20010322		
JP 10316662	A2	19981202	JP 1998-130752	19980513 ∅

PRIORITY APPLN. INFO.: DE 1997-19719817 19970513 ∅
GI



I



II

Compd. 54 ∅ Found in (Cooked in Patent)

AB Title compds. I [R1 = (un)substituted Ph, heteroarom., OH, CO2H, alkyl, cycloalkylalkyl, CN, NO2, CF3; A = bond,O, CH:CH, C.tplbond.C; R2 = NHOH, (un)substituted OH; R3, R4 = H, alkyl,

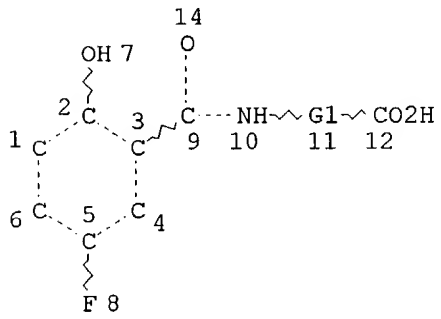
aralkyl, acyl, (un)substituted CO2H, substituted sulfonyl, C(:NH)NH2; R3R4 = N heterocyclic; B = alkylene,oxaalkylene, CH:CH; X = CH:CH, O, S] were prepared for use as matrix metalloproteinase inhibitors. Thus, amide II was prepared from 1,2,3,4-tetrahydroisoquinolinecarboxylic acid by nitration, sulfonamide formation, isomer separation, reduction of the nitro group, N-tert-butoxycarbonylation, reaction with Me3SiONH2, and deblocking. II had IC50 against MMP-3 and MMP-8 of 1X10-8 and 2X10-9 M, resp.

IC ICM C07D217-26
ICS C07D401-04; C07D405-14; C07D409-14; A61K031-47
ICA C07D521-00; C07D217-06; C07D333-06; C07D307-38
CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 7
ST aminotetrahydroisoquinolinecarboxylic acid prepn matrix metalloproteinase inhibitor
IT 191327-09-0P 191327-11-4P 191327-12-5P 215956-98-2P
215957-04-3P 215957-05-4P 215957-06-5P 215957-07-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)
IT 191327-10-3P 191327-20-5P 215956-97-1P 215957-02-1P
215957-03-2P 215957-08-7P 215957-09-8P 215957-10-1P
215957-11-2P 215957-12-3P 215957-13-4P 215957-14-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)
IT 9001-12-1, MMP-8 79955-99-0, MMP-3
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)
IT 215956-94-8P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)
IT 67123-97-1, 1,2,3,4-Tetrahydroisoquinoline-3-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)
IT 215956-88-0P 215956-89-1P 215956-90-4P 215956-91-5P
215956-93-7P 215956-95-9P 215956-99-3P 215957-00-9P
215957-15-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)
IT 215956-92-6P 215956-96-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)

IT 215957-01-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminotetrahydroisoquinolinecarboxylic acids as matrix metalloproteinase inhibitors)

FILE 'MARPATPREV' ENTERED AT 09:12:50 ON 07 JUN 2004

L1 STR



REP G1=(7-7) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L10 0 SEA FILE=MARPATPREV SSS FUL L1 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 16 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

(FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO, USPATFULL' ENTERED AT 09:13:34 ON 07 JUN 2004)

L11 68 SEA ABB=ON PLU=ON "GSCHNEIDNER D"?/AU
L12 2756 SEA ABB=ON PLU=ON ("LEONE BAY A"? OR "BAY LEONE A"? OR "BAY A"? OR "LEONE A"?)/AU
L13 9400 SEA ABB=ON PLU=ON "WANG E"?/AU
L14 12 SEA ABB=ON PLU=ON "ERRIGO L"?/AU
L15 8179 SEA ABB=ON PLU=ON "HO K"?/AU
L16 1111 SEA ABB=ON PLU=ON "PRESS J"?/AU
L17 1150 SEA ABB=ON PLU=ON "TONG P"?/AU

L18 0 SEA ABB=ON PLU=ON L11 AND L12 AND L13 AND L14 AND L15 AND L16 AND L17
L19 34 SEA ABB=ON PLU=ON L11 AND (L12 OR L13 OR L14 OR L15 OR L16 OR L17)

Author(s) ALONE (@ structure)

Searcher : Shears 571-272-2528

L20 121 SEA ABB=ON PLU=ON L12 AND (L13 OR L14 OR L15 OR L16 OR L17)
L21 15 SEA ABB=ON PLU=ON L13 AND (L14 OR L15 OR L16 OR L17)
L22 2 SEA ABB=ON PLU=ON L14 AND (L15 OR L16 OR L17)
L23 15 SEA ABB=ON PLU=ON L15 AND (L16 OR L17)
L24 0 SEA ABB=ON PLU=ON L16 AND L17

L29 57 SEA ABB=ON PLU=ON (L19 OR L20) AND (DELIVER?(5A)(ACTIVE AGENT))(S)(PROTEIN OR POLYPROTEIN OR PEPTIDE OR POLYPEPTIDE OR HORMONE OR POLYSACCHARIDE OR MUCOPOLYSACCHARIDE OR CARBOHYDRATE OR LIPID)
L30 75 SEA ABB=ON PLU=ON L21 OR L22 OR L23 OR L29
L31 53 DUP REM L30 (22 DUPLICATES REMOVED)

L31 ANSWER 1 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2004:89036 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, UNITED STATES
Paton, Duncan R., Purdys, NY, UNITED STATES
Ho, Koc-Kan, Mt. Kisco, NY, UNITED STATES
DeMorin, Frenel, Spring Valley, NY, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004068013	A1	20040408
APPLICATION INFO.:	US 2003-677906	A1	20031001 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-90012, filed on 21 Feb 2002, GRANTED, Pat. No. US 6663887 Continuation of Ser. No. US 2000-730156, filed on 5 Dec 2000, ABANDONED Continuation of Ser. No. US 1999-346970, filed on 2 Jul 1999, ABANDONED Continuation of Ser. No. US 1997-795837, filed on 6 Feb 1997, GRANTED, Pat. No. US 6100298 Division of Ser. No. US 1994-335148, filed on 25 Oct 1994, GRANTED, Pat. No. US 5643957 Continuation-in-part of Ser. No. WO 1994-US4560, filed on 22 Apr 1994, PENDING Continuation-in-part of Ser. No. US 1993-51019, filed on 22 Apr 1993, GRANTED, Pat. No. US 5451410 Continuation-in-part of Ser. No. US 1994-205511, filed on 2 Mar 1994, GRANTED, Pat. No. US 5792451 Continuation-in-part of Ser. No. US 1994-231622, filed on 22 Apr 1994, GRANTED, Pat. No. US 5629020
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
LINE COUNT: 956
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Modified amino acid compounds useful in the delivery of active

agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 2 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN

ACCESSION NUMBER: 2003:161960 BIOSIS
DOCUMENT NUMBER: PREV200300161960
TITLE: Compounds and compositions for delivering active agents.
AUTHOR(S): Leone-Bay, Andrea [Inventor, Reprint Author]; **Wang, Eric** [Inventor]; Sarubbi, Donald J. [Inventor]; Leipold, Harry [Inventor]; **Ho, Koc-Kan** [Inventor]; Gschneidner, David [Inventor]
CORPORATE SOURCE: Monmouth Junction, NY, USA
ASSIGNEE: Emisphere Technologies, Inc.
PATENT INFORMATION: US 6525020 February 25, 2003
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Feb 25 2003) Vol. 1267, No. 4. http://www.uspto.gov/web/menu/patdata.html. e-file.
ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 26 Mar 2003
Last Updated on STN: 26 Mar 2003

AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

L31 ANSWER 3 OF 53 USPATFULL on STN

ACCESSION NUMBER: 2003:334736 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, UNITED STATES
Wang, Eric, Yonkers, NY, UNITED STATES
Sarubbi, Donald J., Bronxville, NY, UNITED STATES
Leipold, Harry, Elmsford, NY, UNITED STATES
Gschneidner, David, Stamford, CT, UNITED STATES
Ho, Koc-Kan, Monmouth Junction, NJ, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003235612	A1	20031225
APPLICATION INFO.:	US 2003-373582	A1	20030224 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-1731, filed on 31 Oct 2001, GRANTED, Pat. No. US 6525020
Division of Ser. No. US 1997-796336, filed on 7 Feb 1997, GRANTED, Pat. No. US 6358504
DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., Post Office Box 5257, New York, NY, 10150-5257
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 1073
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 4 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2003:307153 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Gschneidner, David**, Stamford, CT, UNITED STATES
Leone-Bay, Andrea, Ridgefield, CT, UNITED STATES
Wang, Eric, Ellicott City, MD, UNITED STATES
Freeman, John J., Fairfield, CT, UNITED STATES
O'Toole, Doris C., Carmel, NY, UNITED STATES
Shields, Lynn, Port Chester, NY, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003216589	A1	20031120	
	US 6693208	B2	20040217	
APPLICATION INFO.:	US 2002-168275	A1	20020715	(10)
	WO 2000-US34329		20001218	

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-60171213	19991216

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Darby & Darby, 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
LINE COUNT: 1195
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Amino acid derivative as carrier compounds and compositions which are useful in the **delivery** of **active agents** are provided. The active agents can be a **peptide, mucopolysaccharide, carbohydrate,** or **lipid**. Methods of administration, including oral administration, and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 5 OF 53 USPATFULL on STN

ACCESSION NUMBER: 2003:113561 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, UNITED STATES
Ho, Koc-Kan, Monmouth Junction, NJ, UNITED STATES
Sarubbi, Donald J., Carmel, NY, UNITED STATES
Milstein, Sam J., Larchmont, NY, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003078302	A1	20030424
	US 6699467	B2	20040302
APPLICATION INFO.:	US 2002-142009	A1	20020508 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-305506, filed on 5 May 1999, PENDING Continuation of Ser. No. US 1997-798031, filed on 6 Feb 1997, GRANTED, Pat. No. US 6001347 Continuation of Ser. No. WO 1996-US4580, filed on 1 Apr 1996, UNKNOWN Continuation-in-part of Ser. No. US 1995-414654, filed on 31 Mar 1995, GRANTED, Pat. No. US 5650386

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-3111P	19950901 (60)
	US 1996-17902P	19960329 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY, P.C., Post Office Box 5257, New York, NY, 10150-5257
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1552
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Modified amino acid compounds useful in the **delivery** of **active agents** are provided. The active agents can be **peptides**. Methods of administration, such as oral, subcutaneous, sublingual, and intranasal administration and methods of preparation of the modified amino acid compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 6 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2003:105829 USPATFULL
TITLE: Pulmonary delivery of active agents
INVENTOR(S): Milstein, Sam J., Larchmont, NY, UNITED STATES
Smart, John E., Katonah, NY, UNITED STATES
Sarubbi, Donald J., Carmel, NY, UNITED STATES
Leipold, Monica, Thornwood, NY, UNITED STATES
Flanders, Elizabeth, Ridgefield, CT, UNITED STATES

O'Toole, Doris, Carmel, NY, UNITED STATES
Leone-Bay, Andrea, Ridgefield, CT, UNITED STATES
Gschneidner, David, Stamford, CT, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003072740	A1	20030417
	US 6693073	B2	20040217
APPLICATION INFO.:	US 2002-172582	A1	20020614 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-744777, filed on 26 Apr 2001, GRANTED, Pat. No. US 6440929 A 371 of International Ser. No. WO 1999-US16957, filed on 27 Jul 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-94267P	19980727 (60)
	US 1998-104466P	19981016 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., Post Office Box 5257, New York, NY, 10150-5257
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 9 Drawing Page(s)
LINE COUNT: 1171
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides methods for administering an active agent to an animal in need of the agent by the pulmonary route. This method comprises administering via the pulmonary route, a composition comprising (a) an active agent and (b)(i) an acylated amino acid, (ii) a sulfonated amino acid, or (iii) a combination of (i) and (ii). Administration of the compositions of the present invention provide improved pulmonary delivery and greater bioavailability of the active agent than administration of the active agent alone. As a result, lesser amounts of the active agent may be administered to obtain a desired result when contained in the composition of the present invention than when administered alone.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 7 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2003:65455 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, UNITED STATES
Ho, Koc-Kan, Monmouth Junction, NJ, UNITED STATES
Sarubbi, Donald J., Carmel, NY, UNITED STATES
Milstein, Sam J., Larchmont, NY, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003045579	A1	20030306
	US 6623731	B2	20030923
APPLICATION INFO.:	US 2001-38426	A1	20011019 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-499958, filed on 8 Feb 2000, GRANTED, Pat. No. US 6346242 Continuation of Ser. No. US 1999-305506, filed on 5 May 1999, PENDING Continuation of Ser. No. US 1997-798031, filed on 6 Feb 1997, GRANTED, Pat. No. US 6001347 Continuation of Ser. No. WO 1996-US4580, filed on 1 Apr 1996, UNKNOWN Continuation-in-part of Ser. No. US 1995-414654, filed on 31 Mar 1995, GRANTED, Pat. No. US 5650386

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-3111P	19950901 (60)
	US 1996-17902P	19960329 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1582
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Modified amino acid compounds useful in the **delivery** of **active agents** are provided. The active agents can be **peptides**, such as rhGH. Methods of administration, such as oral, subcutaneous, sublingual, and intranasal administration, are provided, and methods of preparation of the modified amino acid compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 8 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2003:11196 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, UNITED STATES
Wang, Eric, Yonkers, NY, UNITED STATES
Sarubbi, Donald J., Bronxville, NY, UNITED STATES
Leipold, Harry, Elmsford, NY, UNITED STATES
Ho, Koc-Kan, Monmouth Junction, NY, UNITED STATES
Gschneidner, David, Stamford, CT, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE

16

PATENT INFORMATION: US 2003008900 A1 20030109
US 6525020 B2 20030225
APPLICATION INFO.: US 2001-1731 A1 20011031 (10)
RELATED APPLN. INFO.: Division of Ser. No. US 1997-796336, filed on 7 Feb 1997, PENDING
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 2471
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 9 OF 53 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2003086736 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12599234
TITLE: Chromosomal aberrations of primary lung adenocarcinomas in nonsmokers.
AUTHOR: Wong Maria P; Fung Lai-Fan; **Wang Elaine**; Chow Wing-Shun; Chiu Shui-Wah; Lam Wah-Kit; **Ho Kwok-Keung**; Ma Edmond S K; Wan Thomas S K; Chung Lap-Ping
CORPORATE SOURCE: Department of Pathology, The University of Hong Kong, Hong Kong, China.
SOURCE: Cancer, (2003 Mar 1) 97 (5) 1263-70.
Journal code: 0374236. ISSN: 0008-543X.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Abridged Index Medicus Journals; Priority Journals
ENTRY MONTH: 200305
ENTRY DATE: Entered STN: 20030225
Last Updated on STN: 20030530
Entered Medline: 20030529
AB BACKGROUND: Lung carcinoma is a common malignancy, and tobacco carcinogenesis is the major cause. Studies on individual genes or loci have suggested, that in tumors from nonsmokers, different genetic alterations are present compared with tumors from smokers. It is possible that distinct genetic pathways may be involved. However, the targets remain largely unknown; and, to the authors' knowledge, molecular cytogenetics studies on lung carcinomas from nonsmokers have not been reported. METHODS: Comparative genomic hybridization (CGH) analysis was performed on primary lung adenocarcinoma samples from 32 patients who never smoked to identify loci of frequent aberrations. RESULTS: Different extents of aberration were found in 31 of the 32 samples studied. The most frequently altered locus was gain of 16p (59% of samples) followed by gain of 20q (44% of samples), with the minimal overlapping regions at 16p13.1-p13.2 and 20q13.2, respectively. Other over-represented loci with > 30% frequency were observed at 5p (34%

of samples), 7p (41% of samples), 8q (31% of samples), 17q (34% of samples), and 19q (34% of samples); and high-level DNA amplifications were detected at 1q, 7p, 12q, 19q, and 20q. DNA under-representation was observed less commonly and included 8p (28% of samples), 9p (22% of samples), 13q (28% of samples), and 18q (38% of samples). CONCLUSIONS: The current study identified targets of frequent genetic aberration in primary adenocarcinomas from nonsmokers. Compared with reported CGH findings in the literature, the current findings suggest that DNA gain at 16p is the distinct aberration involved in these tumors. Other frequently altered loci involve commonly reported oncogenic and tumor suppressor loci, suggesting an overlap with the genetic pathways of tobacco-induced lung carcinogenesis.

L31 ANSWER 10 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN DUPLICATE 2

ACCESSION NUMBER: 2002:240249 BIOSIS
DOCUMENT NUMBER: PREV200200240249
TITLE: Compounds and compositions for delivering active agents.
AUTHOR(S): Leone-Bay, Andrea [Inventor]; **Wang, Eric** [Inventor]; Sarubbi, Donald J. [Inventor, Reprint author]; Leipold, Harry [Inventor]; **Ho, Koc-Kan** [Inventor]; Gschneidner, David [Inventor]
CORPORATE SOURCE: Bronxville, NY, USA
ASSIGNEE: Emisphere Technologies, Inc.
PATENT INFORMATION: US 6358504 March 19, 2002
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Mar. 19, 2002) Vol. 1256, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 10 Apr 2002
Last Updated on STN: 10 Apr 2002

AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

L31 ANSWER 11 OF 53 USPATFULL on STN

ACCESSION NUMBER: 2002:221866 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, UNITED STATES
Paton, Duncan R., Purdys, NY, UNITED STATES
Ho, Koc-Kan, Mt. Kisco, NY, UNITED STATES
DeMorin, Frenel, Spring Valley, NY, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION: US 2002120009 A1 20020829
US 6663887 B2 20031216
APPLICATION INFO.: US 2002-90012 A1 20020221 (10)
RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-730156, filed on 5 Dec 2000, ABANDONED Continuation of Ser. No. US 1999-346970, filed on 2 Jul 1999, ABANDONED Continuation of Ser. No. US 1997-795837, filed on 6 Feb 1997, GRANTED, Pat. No. US 6100298 Division of Ser. No. US 1994-335148, filed on 25 Oct 1994, GRANTED, Pat. No. US 5643957 Continuation-in-part of Ser. No. WO 1994-US4560, filed on 22 Apr 1994, UNKNOWN Continuation-in-part of Ser. No. US 1993-51019, filed on 22 Apr 1993, GRANTED, Pat. No. US 5451410 Continuation-in-part of Ser. No. US 1994-205511, filed on 2 Mar 1994, GRANTED, Pat. No. US 5792451 Continuation-in-part of Ser. No. US 1994-231622, filed on 22 Apr 1994, GRANTED, Pat. No. US 5629020
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
LINE COUNT: 955
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Modified amino acid compounds useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 12 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2002:221768 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, UNITED STATES
Wang, Eric, Yonkers, NY, UNITED STATES
Sarubbi, Donald J., Bronxville, NY, UNITED STATES
Leipold, Harry, Elmsford, NY, UNITED STATES
Ho, Koc-Kan, Mt. Kisco, NY, UNITED STATES
Gschneidner, David, Stamford, CT, UNITED STATES
Barantsevich, Eugene N., Scarsdale, NY, UNITED STATES
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002119910	A1	20020829
APPLICATION INFO.:	US 2000-746548	A1	20001219 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1997-796336, filed on 7 Feb 1997, PENDING		
DOCUMENT TYPE:	Utility		

FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 1901
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 13 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2002:72921 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): Tang, Pingwah, Elmsford, NY, UNITED STATES
Leone-Bay, Andrea, Ridgefield, CT, UNITED STATES
Gschneidner, David, Stamford, CT, UNITED STATES
PATENT ASSIGNEE(S): EMISPHERE TECHNOLOGIES, INC (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002040061	A1	20020404
	US 6646162	B2	20031111
APPLICATION INFO.:	US 2001-939511	A1	20010824 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-US4830, filed on 25 Feb 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-121850P	19990226 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 690
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 14 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2002:217236 USPATFULL
TITLE: Pulmonary delivery of active agents
INVENTOR(S): Milstein, Sam J., Larchmont, NY, United States
Smart, John E., Katonah, NY, United States
Sarubbi, Donald J., Carmel, NY, United States
Leipold, Monica, Thornwood, NY, United States

Flanders, Elizabeth, Ridgefield, CT, United States
O'Toole, Doris, Carmel, NY, United States
Leone-Bay, Andrea, Ridgefield, CT, United States
Gschneidner, David, Stamford, CT, United States

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6440929	B1	20020827	
	WO 2000006184		20000210	
APPLICATION INFO.:	US 2001-744777		20010426	(9)
	WO 1999-US16957		19990727	
			20010426	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104466P	19981016 (60)
	US 1998-94267P	19980727 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Henley, III, Raymond
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 13 Drawing Figure(s); 9 Drawing Page(s)
LINE COUNT: 1159
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to pulmonary delivery of active agents. Acylated or sufonated amino acids are used as carriers to facilitate pulmonary delivery of active agents to a target.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 15 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2002:29118 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Ho, Koc-Kan, Monmouth Junction, NJ, United States
Sarubbi, Donald J., Carmel, NY, United States
Milstein, Sam J., Larchmont, NY, United States
PATENT ASSIGNEE(S): Emishpere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6346242	B1	20020212	
APPLICATION INFO.:	US 2000-499958		20000208	(9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1999-305506, filed on 5 May 1999 Continuation of Ser. No. US			

1997-798031, filed on 6 Feb 1997, now patented, Pat. No. US 6001347 Continuation of Ser. No. WO 1996-US4580, filed on 1 Apr 1996 Continuation-in-part of Ser. No. US 1995-414654, filed on 31 Mar 1995, now patented, Pat. No. US 5650386

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-3111P	19950901 (60)
	US 1996-17902P	19960329 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Russel, Jeffrey E.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 1466
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a compound having the formula ##STR1##

or a salt thereof which facilitates the **delivery** of **active agents**. Compositions and dosage unit forms comprising the compound of the present invention and at least one active agent, such as a **peptide**, **mucopolysaccharide**, **carbohydrate**, or a **lipid**, are also provided. Methods of administration and preparation of the compounds and compositions of the invention are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 16 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2001:160972 USPATFULL
TITLE: COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS
INVENTOR(S): **LEONE-BAY, ANDREA**, RIDGEFIELD, CT, United States
HO, KOC-KAN, MONMOUTH JUNCTION, NJ, United States
SARUBBI, DONALD J., CARMEL, NY, United States
MILSTEIN, SAM J., LARCHMONT, NY, United States
WANG, NAI FANG, LONG ISLAND CITY, NY, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001023240	A1	20010920
	US 6428780	B2	20020806
APPLICATION INFO.:	US 1999-305506	A1	19990505 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-798031, filed on 6 Feb 1997, GRANTED, Pat. No. US 6001347 Continuation of Ser. No. WO 1996-US4580, filed on 1 Apr 1996, UNKNOWN Continuation-in-part of Ser.

No. US 1995-414654, filed on 31 Mar 1995, GRANTED, Pat. No. US 5650386

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-17902P	19960329 (60)
	US 1995-3111P	19950901 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY PC, 805 THIRD AVENUE, NEW YORK, NY, 10022
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1485
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Modified amino acid compounds useful in the **delivery** of **active agents** are provided. The active agents can be **peptides**, such as rhGH. Methods of administration, such as oral, subcutaneous, sublingual, and intranasal administration, are provided, and methods of preparation of the modified amino acid compound are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 17 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2001:90856 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Paton, Duncan R., Purdys, NY, United States
Ho, Koc-Kan, Mt. Kisco, NY, United States
DeMorin, Frenel, Spring Valley, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001003001	A1	20010607
APPLICATION INFO.:	US 2000-730156	A1	20001205 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-346970, filed on 2 Jul 1999, PENDING Continuation of Ser. No. US 1997-795837, filed on 6 Feb 1997, PENDING Division of Ser. No. US 1994-335148, filed on 25 Oct 1994, GRANTED, Pat. No. US 5643957 Continuation-in-part of Ser. No. WO 1994-US4560, filed on 22 Apr 1994, UNKNOWN Continuation-in-part of Ser. No. US 1993-51019, filed on 22 Apr 1993, GRANTED, Pat. No. US 5451410 Continuation-in-part of Ser. No. US 1994-205511, filed on 2 Mar 1994, GRANTED, Pat. No. US 5792451 Continuation-in-part of Ser. No. US 1994-231622, filed on 22 Apr 1994, GRANTED, Pat. No. US 5629020
DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
LINE COUNT: 957
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Modified amino acid compounds useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 18 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2001:196986 USPATFULL
TITLE: 8-[(2-hydroxy-4-methoxy benzoyl) amino]-octanoic acid compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Carmel, NY, United States
Leipold, Harry, Elmsford, NY, United States
Wang, Nai Fang, Long Island City, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6313088	B1	20011106	
APPLICATION INFO.:	US 1997-797100		19970207	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Carr, Deborah D.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 1252
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 19 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2001:82820 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric Yanjun, Perry Hall, MD, United States
Sarubbi, Donald J., Carmel, NY, United States
Leipold, Harry, Elmsford, NY, United States
Wang, Nai Fang, Long Island City, NY, United

States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6242495	B1	20010605
APPLICATION INFO.:	US 2000-596016		20000616 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-797100, filed on 7 Feb 1997
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Carr, Deborah D.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 1225

AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

L31 ANSWER 20 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2000:117018 CAPLUS
DOCUMENT NUMBER: 132:151567
TITLE: Preparation of arylamidoalkylcarboxylic acids and compositions for delivering active agents.
INVENTOR(S): **Gschneidner, David; Leone-Bay, Andrea; Wang, Eric; Errigo, Lynn**; Kraft, Kelly; Moye-Sherman, Destardi; **Ho, Koc-Kan; Press, Jeffrey Bruce**; Wang, Nai Fang
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007979	A2	20000217	WO 1999-US17974	19990806
WO 2000007979	A3	20000518		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339765	AA	20000217	CA 1999-2339765	19990806
AU 9954711	A1	20000228	AU 1999-54711	19990806
EP 1102742	A2	20010530	EP 1999-940967	19990806

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9912975	A	20010925	BR 1999-12975	19990806
TR 200100366	T2	20011121	TR 2001-20010036619990806	
JP 2002522413	T2	20020723	JP 2000-563614	19990806
NZ 509410	A	20030829	NZ 1999-509410	19990806
ZA 2001000470	A	20010820	ZA 2001-470	20010117

PRIORITY APPLN. INFO.:

US 1998-95778P	P	19980807
US 1998-98500P	P	19980831
US 1998-108366P	P	19981113
US 1999-119207P	P	19990205
WO 1999-US17974	W	19990806

APPL

AB 135 Title compds. are claimed. Thus, Me azeloyl chloride was added dropwise to 2-amino-p-cresol in aqueous NaOH at 0° to give a residue which was stirred with aqueous NaOH in THF to give 4-HO-5-MeC6H3NHCO(CH2)7CO2H. Title compds. at 100-300 mg/kg with parathyroid hormone at 25-200 μg orally or intracolonically in rats gave peak serum parathyroid hormone levels of 5-1459.71 pg/mL.

L31 ANSWER 21 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2000:98355 CAPLUS
DOCUMENT NUMBER: 132:141984
TITLE: Pulmonary delivery of active agents
INVENTOR(S): Milstein, Sam J.; Smart, John E.; Sarubbi, Donald J.; Carozza, Monica; Flanders, Elizabeth; O'Toole, Doris; **Leone-Bay, Andrea; Gschneidner, David**
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006184	A1	20000210	WO 1999-US16957	19990727
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338358	AA	20000210	CA 1999-2338358	19990727
CA 2338419	AA	20000210	CA 1999-2338419	19990727
WO 2000006534	A1	20000210	WO 1999-US17090	19990727
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9953210	A1	20000221	AU 1999-53210	19990727
AU 745290	B2	20020321		
AU 9953237	A1	20000221	AU 1999-53237	19990727
AU 751612	B2	20020822		
EP 1100522	A1	20010523	EP 1999-938806	19990727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1100771	A1	20010523	EP 1999-938842	19990727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100922	T2	20010921	TR 2001-20010092219990727	
BR 9912694	A	20020102	BR 1999-12694	19990727
JP 2002521455	T2	20020716	JP 2000-562038	19990727
NZ 509239	A	20021025	NZ 1999-509239	19990727
JP 2003517438	T2	20030527	JP 2000-562341	19990727
NZ 509238	A	20030725	NZ 1999-509238	19990727
ZA 2001000227	A	20010807	ZA 2001-227	20010109
ZA 2001000226	A	20010904	ZA 2001-226	20010109
US 6642411	B1	20031104	US 2001-744862	20010419
US 6440929	B1	20020827	US 2001-744777	20010426
US 2003072740	A1	20030417	US 2002-172582	20020614
US 6693073	B2	20040217		
US 2003225300	A1	20031204	US 2003-600413	20030620

PRIORITY APPLN. INFO.:	US 1998-94267P	P	19980727
	US 1998-104466P	P	19981016
	WO 1999-US16957	W	19990727
	WO 1999-US17090	W	19990727
	US 2001-744862	A1	20010419
	US 2001-744777	A1	20010426

OTHER SOURCE(S): MARPAT 132:141984

AB Methods of administration of active agents via the pulmonary route are provided. Thus, sodium 2-(4-(N-salicyloyl)aminophenyl)propionate was prepared and 16 mg/kg this compound was mixed with 0.05 mg/kg porcine insulin and administered to rats by lung-spray-IT instillation. The AUC of the formulation wa higher than that without any carrier added.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 22 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5

ACCESSION NUMBER:	2000:492070 CAPLUS
DOCUMENT NUMBER:	133:109955
TITLE:	Amino acid derivatives and compositions therewith for delivering active agents
INVENTOR(S):	**Leone-Bay, Andrea; Ho, Koc-kan**; Sarubbi, Donald J.; Leipold, Harry R.
PATENT ASSIGNEE(S):	Emisphere Technologies, Inc., USA
SOURCE:	U.S., 44 pp., Cont.-in-part of PCT 9736480. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	30

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6090958	A	20000718	US 1997-797816	19970207
WO 9736480	A1	19971009	WO 1997-US5128	19970318
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2319672	AA	19980813	CA 1998-2319672	19980206
CA 2319680	AA	19980813	CA 1998-2319680	19980206
WO 9834632	A1	19980813	WO 1998-US2619	19980206
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, US, US, US, US, US, US, US, US, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9862756	A1	19980826	AU 1998-62756	19980206
AU 738735	B2	20010927		
EP 993831	A2	20000419	EP 1999-117292	19980206
EP 993831	A3	20010502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1015008	A1	20000705	EP 1998-905042	19980206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1093819	A2	20010425	EP 2000-122704	19980206
EP 1093819	A3	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001131090	A2	20010515	JP 2000-311231	19980206
JP 2001139494	A2	20010522	JP 2000-311230	19980206
JP 2001513080	T2	20010828	JP 1998-535034	19980206
NZ 337131	A	20010831	NZ 1998-337131	19980206
MX 9907290	A	20000531	MX 1999-7290	19990806
NZ 507275	A	20011130	NZ 2000-507275	20001003
NZ 507276	A	20020201	NZ 2000-507276	20001003
AU 771024	B2	20040311	AU 2000-72261	20001214
AU 771434	B2	20040325	AU 2000-72260	20001214

PRIORITY APPLN. INFO.:		
US 1996-17902P	P	19960329
WO 1997-US5128	A2	19970318
US 1996-17902	A1	19960329
US 1997-796334	A	19970207
US 1997-796335	A	19970207
US 1997-796336	A	19970207
US 1997-796337	A	19970207
US 1997-796338	A	19970207

Searcher : Shears 571-272-2528

US 1997-796339	A	19970207
US 1997-796340	A	19970207
US 1997-796341	A	19970207
US 1997-797100	A	19970207
US 1997-797813	A	19970207
US 1997-797816	A	19970207
US 1997-797817	A	19970207
US 1997-797820	A	19970207
AU 1998-62756	A3	19980206
CA 1998-2279331	A3	19980206
EP 1998-905042	A3	19980206
EP 1999-117292	A3	19980206
JP 1998-535034	A3	19980206
NZ 1998-337131	A1	19980206
WO 1998-US2619	W	19980206

AB Carrier compds., especially amino acid derivs., and compns. therewith which are useful in the **delivery** of **active agents**, e.g. **peptides**, **mucopolysaccharides**, **carbohydrates**, and **lipids**, are provided. Methods of administration and preparation are provided as well. An intracolonic dosing composition containing parathyroid hormone 25 μg/kg, 4-[4-(phenoxyacetyl)aminophenyl]butyric acid as carrier 100 mg/kg in 25% aqueous propylene glycol was prepared

L31 ANSWER 23 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN DUPLICATE 6

ACCESSION NUMBER: 2001:78255 BIOSIS
DOCUMENT NUMBER: PREV200100078255
TITLE: Modified amino acids and compositions comprising the same for delivering active agents.
AUTHOR(S): Leone-Bay, Andre [Inventor]; **Ho, Koc-Kan** [Inventor, Reprint author]; **Press, Jeffery Bruce** [Inventor]; Wang, Nai-Fang [Inventor]
CORPORATE SOURCE: Mt. Kisco, NY, USA
ASSIGNEE: Emisphere Technologies, Inc.
PATENT INFORMATION: US 6071510 June 06, 2000
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (June 6, 2000) Vol. 1235, No. 1. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 7 Feb 2001
Last Updated on STN: 12 Feb 2002

AB The present invention provides modified amino acids for delivering active agents, and particularly biologically or chemically active agents. The preferred modified amino acids of the present invention include N-acylated or sulfonated amino acids. These modified amino acids are used as carriers to facilitate the delivery of a cargo to a target. Such modified amino acids are well suited to form non-covalent mixtures with biologically-active agents for oral administration to animals. Methods for the preparation and administration of the modified amino acids and compositions including the same are also provided.

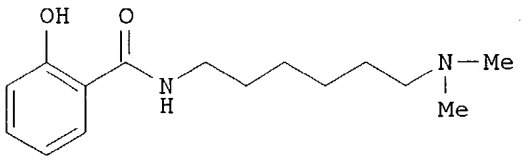
L31 ANSWER 24 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:608713 CAPLUS
DOCUMENT NUMBER: 133:213157
TITLE: Aromatic amides for delivering active agents
INVENTOR(S): Tang, Pingwah; **Leone-Bay, Andrea**; **Gschneidner, David**
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050386	A1	20000831	WO 2000-US4830	20000225
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1163209	A1	20011219	EP 2000-911975	20000225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537372	T2	20021105	JP 2000-600970	20000225
US 2002040061	A1	20020404	US 2001-939511	20010824
US 6646162	B2	20031111		

PRIORITY APPLN. INFO.: US 1999-121850P P 19990226
WO 2000-US4830 W 20000225

OTHER SOURCE(S): MARPAT 133:213157
GI



I

AB Amides such as I are used for the **delivery** of **active agents** such as growth **hormones**. I was prepared from carsalam and 6-dimethylamino-1-hexanol, Ph3P, and diisopropyl azodicarboxylate in THF. Examples were given showing oral delivery of salmon calcitonin, low mol. wt heparin and human growth hormone with the addition of I.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 25 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2000:102330 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Paton, Duncan R., Purdys, NY, United States
Ho, Koc-Kan, Mt. Kisco, NY, United States
DeMorin, Frenel, Spring Valley, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6100298		20000808
APPLICATION INFO.:	US 1997-795837		19970206 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-335148, filed on 25 Oct 1994, now patented, Pat. No. US 5643957 which is a continuation-in-part of Ser. No. WO 1994-US4560, filed on 22 Apr 1994 which is a continuation-in-part of Ser. No. US 1993-59019, filed on 22 Apr 1993, now patented, Pat. No. US 5451460 which is a continuation-in-part of Ser. No. US 1994-205511, filed on 2 Mar 1994, now patented, Pat. No. US 5792451 which is a continuation-in-part of Ser. No. US 1994-231622, filed on 22 Apr 1994, now patented, Pat. No. US 5629020
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Benston, Jr., William E.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 1141
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Modified amino acid compounds useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 26 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2000:57807 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
Leipold, Harry R., Elmsford, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6060513		20000509	
APPLICATION INFO.:	US 1997-797817		19970207	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Geist, Gary
ASSISTANT EXAMINER: Davis, Brian J.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 1158
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 27 OF 53 USPATFULL on STN
ACCESSION NUMBER: 2000:47218 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
Leipold, Harry, Elmsford, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies Inc., Hawthorne, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6051561		20000418	
APPLICATION INFO.:	US 1997-797813		19970207	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kight, John
ASSISTANT EXAMINER: White, Everett
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 908
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 28 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 1999:100747 CAPLUS
DOCUMENT NUMBER: 130:144204
TITLE: Modified amino acids as carriers for enhanced delivery of active agents
INVENTOR(S): **Leone-Bay, Andrea; Ho, Koc-kan**

; Sarubbi, Donald J.; Milstein, Sam J.
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: U.S., 27 pp., Cont.-in-part of U.S. Ser. No. 414,654.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866536	A	19990202	US 1997-798033	19970206
US 5650386	A	19970722	US 1995-414654	19950331
CN 1190893	A	19980819	CN 1996-192998	19960401
JP 2003313157	A2	20031106	JP 2003-140962	19960401
US 6071510	A	20000606	US 1997-839094	19970423
AU 771024	B2	20040311	AU 2000-72261	20001214
AU 771434	B2	20040325	AU 2000-72260	20001214

PRIORITY APPLN. INFO.: US 1995-414654 A2 19950331
US 1995-3111P P 19950901
JP 1996-529751 A3 19960401
AU 1998-62756 A3 19980206

AB Carrier compds., compns., and dosage unit forms which are useful in the delivery of active agents are provided. The present invention provides compds. such as 10-salicyloylaminodecanoic acid (I) for **delivery** of at least one **active agent**, including **peptides, mucopolysaccharides, carbohydrates,** or **lipids.** I prepared from 8-aminocaprylic acid and O-acetylsalicyloyl chloride was mixed with recombinant human growth hormone (rhGH) in a phosphate buffer solution The composition was orally administered to rats at I 200 mg/kg and rhGH 3 mg/kg and delivery was evaluated by an ELISA assay for rhGH; mean peak serum levels of rhGH was .apprx.60.92 ng/mL as compared to <0.1 ng/mL for control group received a composition without I.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 29 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN DUPLICATE 8
ACCESSION NUMBER: 2000:292267 BIOSIS
DOCUMENT NUMBER: PREV200000292267
TITLE: Compounds and compositions for delivering active agents.
AUTHOR(S): **Leone-Bay, Andre** [Inventor]; **Ho, Koc-Kan** [Inventor]; Sarubbi, Donald J. [Inventor]; Milstein, Sam J. [Inventor]
CORPORATE SOURCE: ASSIGNEE: Emisphere Technologies, Inc., Tarrytown, NY, USA
PATENT INFORMATION: US 6001347 December 14, 1999
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Dec. 14, 1999) Vol. 1229, No. 2. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent

LANGUAGE: English
ENTRY DATE: Entered STN: 6 Jul 2000
Last Updated on STN: 7 Jan 2002

AB Carrier compounds, compositions, and dosage unit forms therefor which are useful in the delivery of active agents are provided. The present invention provides a compound having the formula: ##STR1## or a salt thereof, wherein the compound may be used in a composition or dosage unit form for **delivery** of at least one **active agent**, including a **peptide**, **mucopolysaccharide**, **carbohydrate**, or a **lipid**. Methods of administration and preparation of the compounds and compositions of the invention are provided as well, including oral administration. Further, the compositions of the invention may be prepared by mixing at least one active agent, at least one carrier compound, and, optionally, a dosing vehicle.

L31 ANSWER 30 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN DUPLICATE 9
ACCESSION NUMBER: 2000:290504 BIOSIS
DOCUMENT NUMBER: PREV200000290504
TITLE: Compounds and compositions for delivering active agents.
AUTHOR(S): **Leone-Bay, Andre** [Inventor, Reprint author]; **Ho, Koc-Kan** [Inventor]; Sarubbi, Donald J. [Inventor]; Milstein, Sam J. [Inventor]
CORPORATE SOURCE: Larchmont, NY, USA
ASSIGNEE: Emisphere Technologies, Inc., Tarrytown, NY, USA
PATENT INFORMATION: US 5989539 November 23, 1999
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov. 23, 1999) Vol. 1228, No. 4. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 6 Jul 2000
Last Updated on STN: 7 Jan 2002

AB Carrier compounds, compositions, and dosage unit forms therefor which are useful in the delivery of active agents are provided. The present invention provides a compound having the formula: ##STR1## or a salt thereof, wherein the compound may be used in a composition or dosage unit form for **delivery** of at least one **active agent**, including a **peptide**, **mucopolysaccharide**, **carbohydrate**, or a **lipid**. Methods of administration and preparation of the compounds and compositions of the invention are provided as well, including oral administration. Further, the compositions of the invention may be prepared by mixing at least one active agent, at least one carrier compound, and, optionally, a dosing vehicle.

L31 ANSWER 31 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN DUPLICATE 10
ACCESSION NUMBER: 2000:291845 BIOSIS
DOCUMENT NUMBER: PREV200000291845
TITLE: Compounds and compositions for delivering active agents.

AUTHOR(S): **Leone-Bay, Andre** [Inventor, Reprint author]; **Ho, Koc-Kan** [Inventor]; Sarubbi, Donald J. [Inventor]; Milstein, Sam J. [Inventor]
CORPORATE SOURCE: Larchmont, NY, USA
ASSIGNEE: Emisphere Technologies, Inc., Tarrytown, NY, USA
PATENT INFORMATION: US 5965121 October 12, 1999
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Oct. 12, 1999) Vol. 1227, No. 2. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 6 Jul 2000
Last Updated on STN: 7 Jan 2002

AB Carrier compounds, compositions, and dosage unit forms therefor which are useful in the delivery of active agents are provided. The present invention provides a compound having the formula: ##STR1## or a salt thereof, wherein the compound may be used in a composition or dosage unit form for **delivery** of at least one **active agent**, including a **peptide**, mucopoly-saccharide, **carbohydrate**, of a **lipid**. Methods of administration and preparation of the compounds and compositions of the invention are provided as well, including oral administration. Further, the compositions of the invention may be prepared by mixing at least one active agent, at least one carrier compound, and, optionally, a dosing vehicle.

L31 ANSWER 32 OF 53 USPATFULL on STN
ACCESSION NUMBER: 1999:151269 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
Leipold, Harry, Elmsford, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5990166		19991123	
APPLICATION INFO.:	US 1997-797820		19970207	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Channavajjala, Lakshmi
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 1650
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 33 OF 53 USPATFULL on STN
ACCESSION NUMBER: 1999:113788 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Paton, Duncan R., Purdys, NY, United States
Ho, Koc-Kan, Mt. Kisco, NY, United States
DeMorin, Frenel, Spring Valley, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Hawthorne, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5955503		19990921
APPLICATION INFO.:	US 1997-795833		19970206 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-335148, filed on 25 Oct 1994, now patented, Pat. No. US 5643957 which is a continuation-in-part of Ser. No. WO 1994-US4560, filed on 22 Apr 1994 which is a continuation-in-part of Ser. No. US 1993-51019, filed on 22 Apr 1993, now patented, Pat. No. US 5451410 And Ser. No. US 1994-205511, filed on 2 Mar 1994 And a continuation-in-part of Ser. No. US 1994-231622, filed on 22 Apr 1994, now patented, Pat. No. US 5629020
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Benston, Jr., William E.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
LINE COUNT: 1164
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Modified amino acid compounds useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 34 OF 53 USPATFULL on STN
ACCESSION NUMBER: 1999:96332 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
Leipold, Harry, Elmsford, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5939381		19990817	
APPLICATION INFO.:	US 1997-796340		19970207	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia J.
ASSISTANT EXAMINER: Gupta, Anish
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
LINE COUNT: 1351
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 35 OF 53 USPATFULL on STN
ACCESSION NUMBER: 1999:30370 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
Leipold, Harry, Elmsford, NY, United States
PATENT ASSIGNEE(S): Emisphere Technolgies Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5879681		19990309	
APPLICATION INFO.:	US 1997-796334		19970207	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Russel, Jeffrey E.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 1385
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Carrier compounds, compositions, and dosage unit forms therefor which are useful in the **delivery** of **active agents** are provided. The present invention provides a compound having the formula: ##STR1## or a salt thereof, wherein the compound may be used in a composition or dosage unit form for **delivery** of at least one **active agent**, including a **peptide**, mucopoly-saccharide, **carbohydrate**, or a **lipid**. Methods of administration and preparation of the compounds and compositions of the invention are provided as well, including oral administration. Further, the compositions of the invention may be prepared by mixing at least one active agent, at least one carrier

compound, and, optionally, a dosing vehicle.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 36 OF 53 USPATFULL on STN
ACCESSION NUMBER: 1999:27179 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
Leipold, Harry, Elmsford, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies Inc., Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5876710		19990302	
APPLICATION INFO.:	US 1997-796335		19970207	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Russel, Jeffrey E.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 1381
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Carrier compounds, compositions, and dosage unit forms therefor which are useful in the **delivery** of **active agents** are provided. The present invention provides a compound having the formula: ##STR1## or a salt thereof, wherein the compound may be used in a composition or dosage unit form for **delivery** of at least one **active agent**, including a **peptide**, **mucopolysaccharide**, **carbohydrate**, or a **lipid**. Methods of administration and preparation of the compounds and compositions of the invention are provided as well, including oral administration. Further, the compositions of the invention may be prepared by mixing at least one active agent, at least one carrier compound, and, optionally, a dosing vehicle.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 37 OF 53 USPATFULL on STN
ACCESSION NUMBER: 1999:12953 USPATFULL
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Gschneidner, David, Stamford, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Hawthorne, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5863944		19990126	
APPLICATION INFO.:	US 1997-846254		19970430	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Geist, Gary
ASSISTANT EXAMINER: Davis, Brian J.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 781
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 38 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 11
ACCESSION NUMBER: 1998:721669 CAPLUS
DOCUMENT NUMBER: 130:7397
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea; Gschneidner, David; Wang, Eric;** Sarubbi, Donald J.
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849135	A1	19981105	WO 1998-US7045	19980408
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5863944	A	19990126	US 1997-846254	19970430
AU 9869590	A1	19981124	AU 1998-69590	19980408
AU 727068	B2	20001130		
EP 979225	A1	20000216	EP 1998-915393	19980408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001524109	T2	20011127	JP 1998-547012	19980408
MX 9909632	A	20000630	MX 1999-9632	19991020

PRIORITY APPLN. INFO.: US 1997-846254 A 19970430
WO 1998-US7045 W 19980408

AB Carrier compds. and compns. which are useful in the delivery of active agents are provided, including N-2-(amino-5-fluorobenzoyl)-8-aminocaprylic acid, 4-(N-(5-fluoro-2-aminobenzoyl)-4-aminophenyl)butyric acid, and 8-(2-hydroxy-5-chloroanilinocarbonyl)octanoic acid. Methods of administration and preparation are provided as well.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 39 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 12
ACCESSION NUMBER: 1998:457247 CAPLUS
DOCUMENT NUMBER: 129:113532
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea; Wang, Eric**; Sarubbi, Donald J.; Leipold, Harry
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: U.S., 34 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776888	A	19980707	US 1997-796338	19970207
CA 2319672	AA	19980813	CA 1998-2319672	19980206
CA 2319680	AA	19980813	CA 1998-2319680	19980206
WO 9834632	A1	19980813	WO 1998-US2619	19980206
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, US, US, US, US, US, US, US, US, US, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9862756	A1	19980826	AU 1998-62756	19980206
AU 738735	B2	20010927		
EP 993831	A2	20000419	EP 1999-117292	19980206
EP 993831	A3	20010502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1015008	A1	20000705	EP 1998-905042	19980206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1093819	A2	20010425	EP 2000-122704	19980206
EP 1093819	A3	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001131090	A2	20010515	JP 2000-311231	19980206

JP 2001139494	A2	20010522	JP 2000-311230		19980206
JP 2001513080	T2	20010828	JP 1998-535034		19980206
NZ 337131	A	20010831	NZ 1998-337131		19980206
MX 9907290	A	20000531	MX 1999-7290		19990806
NZ 507275	A	20011130	NZ 2000-507275		20001003
NZ 507276	A	20020201	NZ 2000-507276		20001003
AU 771024	B2	20040311	AU 2000-72261		20001214
AU 771434	B2	20040325	AU 2000-72260		20001214

PRIORITY APPLN. INFO.:

US 1997-796334	A	19970207
US 1997-796335	A	19970207
US 1997-796336	A	19970207
US 1997-796337	A	19970207
US 1997-796338	A	19970207
US 1997-796339	A	19970207
US 1997-796340	A	19970207
US 1997-796341	A	19970207
US 1997-797100	A	19970207
US 1997-797813	A	19970207
US 1997-797816	A	19970207
US 1997-797817	A	19970207
US 1997-797820	A	19970207
AU 1998-62756	A3	19980206
CA 1998-2279331	A3	19980206
EP 1998-905042	A3	19980206
EP 1999-117292	A3	19980206
JP 1998-535034	A3	19980206
NZ 1998-337131	A1	19980206
WO 1998-US2619	W	19980206

AB Carrier compds. and compns. which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well. Standard methods of preparation are mentioned for the 193 carrier compds. listed, which primarily are N-(fatty acid) benzamide derivs. Examples are listed for the delivery of parathyroid hormone, recombinant human growth hormone, interferon and the evaluation of heparin in rats.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 40 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 13
ACCESSION NUMBER: 1998:430107 CAPLUS
DOCUMENT NUMBER: 129:113525
TITLE: Compounds and compositions for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea; Wang, Eric** ; Sarubbi, Donald J.; Leipold, Harry
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: U.S., 35 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 5773647	A	19980630	US 1997-796337	19970207
CA 2319672	AA	19980813	CA 1998-2319672	19980206
CA 2319680	AA	19980813	CA 1998-2319680	19980206
WO 9834632	A1	19980813	WO 1998-US2619	19980206

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, US, US, US, US, US, US, US, US, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9862756	A1	19980826	AU 1998-62756	19980206
AU 738735	B2	20010927		
EP 993831	A2	20000419	EP 1999-117292	19980206
EP 993831	A3	20010502		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

EP 1015008	A1	20000705	EP 1998-905042	19980206

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

EP 1093819	A2	20010425	EP 2000-122704	19980206
EP 1093819	A3	20030514		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2001131090	A2	20010515	JP 2000-311231	19980206
JP 2001139494	A2	20010522	JP 2000-311230	19980206
JP 2001513080	T2	20010828	JP 1998-535034	19980206
NZ 337131	A	20010831	NZ 1998-337131	19980206
MX 9907290	A	20000531	MX 1999-7290	19990806
NZ 507275	A	20011130	NZ 2000-507275	20001003
NZ 507276	A	20020201	NZ 2000-507276	20001003
AU 771024	B2	20040311	AU 2000-72261	20001214
AU 771434	B2	20040325	AU 2000-72260	20001214

PRIORITY APPLN. INFO.:

US 1997-796334	A	19970207
US 1997-796335	A	19970207
US 1997-796336	A	19970207
US 1997-796337	A	19970207
US 1997-796338	A	19970207
US 1997-796339	A	19970207
US 1997-796340	A	19970207
US 1997-796341	A	19970207
US 1997-797100	A	19970207
US 1997-797813	A	19970207
US 1997-797816	A	19970207
US 1997-797817	A	19970207
US 1997-797820	A	19970207
AU 1998-62756	A3	19980206
CA 1998-2279331	A3	19980206
EP 1998-905042	A3	19980206
EP 1999-117292	A3	19980206
JP 1998-535034	A3	19980206
NZ 1998-337131	A1	19980206
WO 1998-US2619	W	19980206

AB Carrier compds. and compns. therewith which are useful in the

delivery of active agents are provided. Methods of administration and preparation are provided as well. Standard methods of preparation are mentioned for the 193 carrier compds. listed, which primarily are N-(fatty acid) benzamide derivs. Examples are listed for the delivery of parathyroid hormone, recombinant human growth hormone, interferon and the evaluation of heparin in rats.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 41 OF 53 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 1998-446945 [38] WPIDS
CROSS REFERENCE: 1994-007461 [01]; 1994-341503 [42]; 1995-036072 [05]; 1995-178642 [23]; 1995-392779 [50]; 1995-392800 [50]; 1996-200699 [20]; 1996-209175 [21]; 1996-230351 [23]; 1996-230352 [23]; 1996-251443 [25]; 1996-342056 [34]; 1996-464649 [46]; 1997-549322 [50]; 1998-387104 [33]; 1998-398084 [34]; 1998-398629 [34]; 1999-263626 [22]; 2000-411184 [35]; 2001-440384 [47]; 2002-153804 [20]; 2002-215073 [27]; 2003-090353 [08]
DOC. NO. CPI: C1998-135554
TITLE: Compositions for delivering e.g. peptide and lipid - comprises e.g. caprylic acid or phenyl butyric acid carrier, to increase the bio-availability of the active agent.
DERWENT CLASS: B05 P14
INVENTOR(S): GSCHNEIDNER, D; **HO**, **K**; LEIPOLD, H R; LEONE-BAY, A; MILSTEIN, S J; SARRUBI, D J; **WANG**, **E**; GSCHNEIDER, D; HO, C; SARUBBI, D J; BARANTSEVICH, E N; LEIPOLD, H; **WANG**, **E Y** ; WANG, N F
PATENT ASSIGNEE(S): (EMIS-N) EMISPHERE TECHNOLOGIES INC
COUNTRY COUNT: 82
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9834632	A1	19980813	(199838)*	EN	147
RW: AT BE CH DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW					
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW					
US 5804688	A	19980908	(199843)		
AU 9862756	A	19980826	(199902)		
US 5876710	A	19990302	(199916)		
US 5879681	A	19990309	(199917)		
US 5939381	A	19990817	(199939)		
US 5990166	A	19991123	(200002)		
EP 993831	A2	20000419	(200024)	EN	
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					
US 6051561	A	20000418	(200026)		
US 6060513	A	20000509	(200030)		

EP 1015008	A1	20000705	(200035)	EN
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE				
CA 2319672	A1	19980813	(200065)	EN
CA 2319680	A1	19980813	(200065)	EN
AU 2000072260	A	20010222	(200115)#	
AU 2000072261	A	20010222	(200115)#	
EP 1093819	A2	20010425	(200124)	EN
R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE				
MX 9907290	A1	20000501	(200129)	
JP 2001131090	A	20010515	(200133)	54
US 6242495	B1	20010605	(200133)	
JP 2001139494	A	20010522	(200134)	54
JP 2001513080	W	20010828	(200156)	280
NZ 337131	A	20010831	(200157)	
AU 738735	B	20010927	(200170)	
US 6313088	B1	20011106	(200170)	
NZ 507275	A	20011130	(200207)	
NZ 507276	A	20020201	(200214)	
US 6358504	B1	20020319	(200224)	
US 2002119910	A1	20020829	(200259)	
US 2003008900	A1	20030109	(200311)	
US 6525020	B2	20030225	(200323)	
US 2003235612	A1	20031225	(200408)	
US 2004022856	A1	20040205	(200411)	

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
WO 9834632	A1		WO 1998-US2619	19980206
US 5804688	A		US 1997-796339	19970207
AU 9862756	A		AU 1998-62756	19980206
US 5876710	A		US 1997-796335	19970207
US 5879681	A		US 1997-796334	19970207
US 5939381	A		US 1997-796340	19970207
US 5990166	A		US 1997-797820	19970207
EP 993831	A2		EP 1999-117292	19980206
US 6051561	A		US 1997-797813	19970207
US 6060513	A		US 1997-797817	19970207
EP 1015008	A1		EP 1998-905042	19980206
			WO 1998-US2619	19980206
		Related to	EP 1999-117292	19980206
CA 2319672	A1	Div ex	CA 1998-2279331	19980206
			CA 1998-2319672	19980206
CA 2319680	A1	Div ex	CA 1998-2279331	19980206
			CA 1998-2319680	19980206
AU 2000072260	A	Div ex	AU 1998-62756	19980206
			AU 2000-72260	20001214
AU 2000072261	A	Div ex	AU 1998-62756	19980206
			AU 2000-72261	20001214
EP 1093819	A2	Div ex	EP 1998-905042	19980206
			EP 2000-122704	19980206
MX 9907290	A1		WO 1998-US2619	19980206
			MX 1999-7290	19990806
JP 2001131090	A	Div ex	JP 1998-535034	19980206
			JP 2000-311231	19980206

US 6242495	B1	Cont of	US 1997-797100	19970207
			US 2000-596016	20000616
JP 2001139494	A	Div ex	JP 1998-535034	19980206
			JP 2000-311230	19980206
JP 2001513080	W		JP 1998-535034	19980206
			WO 1998-US2619	19980206
NZ 337131	A		NZ 1998-337131	19980206
			WO 1998-US2619	19980206
AU 738735	B		AU 1998-62756	19980206
US 6313088	B1		US 1997-797100	19970207
NZ 507275	A	Div ex	NZ 1998-337131	19980206
			NZ 2000-507275	20001003
NZ 507276	A	Div ex	NZ 1998-337131	19980206
			NZ 2000-507276	20001003
US 6358504	B1		US 1997-796336	19970207
US 2002119910	A1	Div ex	US 1997-796336	19970207
			US 2000-746548	20001219
US 2003008900	A1	Div ex	US 1997-796336	19970207
			US 2001-1731	20011031
US 6525020	B2	Div ex	US 1997-796336	19970207
			US 2001-1731	20011031
US 2003235612	A1	Div ex	US 1997-796336	19970207
		Cont of	US 2001-1731	20011031
			US 2003-373582	20030224
US 2004022856	A1	Div ex	US 1997-796336	19970207
		Cont of	US 2000-746548	20001219
			US 2003-395685	20030324

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9862756	A	Based on	WO 9834632
EP 1015008	A1	Related to	EP 993831
		Based on	WO 9834632
EP 1093819	A2	Div ex	EP 1015008
JP 2001513080	W	Based on	WO 9834632
NZ 337131	A	Based on	WO 9834632
AU 738735	B	Previous Publ.	AU 9862756
		Based on	WO 9834632
NZ 507275	A	Div ex	NZ 337131
NZ 507276	A	Div ex	NZ 337131
US 6525020	B2	Div ex	US 6358504
US 2003235612	A1	Div ex	US 6358504
		Cont of	US 6525020
US 2004022856	A1	Div ex	US 6358504

PRIORITY APPLN. INFO: US 1997-797820 19970207; US
1997-796334 19970207; US
1997-796335 19970207; US
1997-796336 19970207; US
1997-796337 19970207; US
1997-796338 19970207; US
1997-796339 19970207; US
1997-796340 19970207; US
1997-796341 19970207; US

Searcher : Shears 571-272-2528

1997-797100	19970207; US
1997-797813	19970207; US
1997-797816	19970207; US
1997-797817	19970207; AU
2000-72260	20001214; AU
2000-72261	20001214; US
2000-596016	20000616; US
2000-746548	20001219; US
2001-1731	20011031; US
2003-373582	20030224; US
2003-395685	20030324

AN 1998-446945 [38] WPIDS

CR 1994-007461 [01]; 1994-341503 [42]; 1995-036072 [05]; 1995-178642 [23]; 1995-392779 [50]; 1995-392800 [50]; 1996-200699 [20]; 1996-209175 [21]; 1996-230351 [23]; 1996-230352 [23]; 1996-251443 [25]; 1996-342056 [34]; 1996-464649 [46]; 1997-549322 [50]; 1998-387104 [33]; 1998-398084 [34]; 1998-398629 [34]; 1999-263626 [22]; 2000-411184 [35]; 2001-440384 [47]; 2002-153804 [20]; 2002-215073 [27]; 2003-090353 [08]

AB WO 9834632 A UPAB: 20040213

A composition comprises: (a) at least one active agent; and (b) at least one carrier selected from caprylic acid derivatives of formula X-C(=O)-NH-(CH2)7-COOH (I), phenylbutyric acid derivatives of formula (II). X = 2-aminophenyl; 2-trifluoromethoxyphenyl; 2- or 3 methoxyphenyl; 2-pyrazinyl; benzyloxy; phenoxy; 3-(2 hydroxypyridinyl); 3-(8-chromone); 4-vinylphenyl; 3,5-dimethoxy-4 hydroxyphenyl; 2-hydroxy-6-methoxyphenyl; 3-chloro-6 methoxyphenyl; 2-methoxy-4-chlorophenyl; and Y = phenyloxymethyl; pentafluorophenyl; 3-methoxyphenyl; 2 hydroxyphenyl; 2-(2,5-dimethoxycinnamoylethynyl); 2-pyrazinyl; 2 (3-carboxypyrazinyl); benzyloxy; phenoxy; chromone; 3-(2 hydroxypyridinyl); 2-, 3- or 4-iodophenyl; 2-(1-hydroxynaphthyl); 2-methoxy-4-nitrophenyl; 3-nitro-4 methoxyphenyl; 2-hydroxy-4-bromophenyl; 2-chloro-5-nitrophenyl; 2,3,5-trichlorophenyl; 2-ethoxyphenyl; 2 dimethylaminophenyl; 3-(2-chloropyridinyl).

USE - The compositions can be used to deliver biologically or chemically active agents, e.g. across the blood/brain barrier. Dosage forms may be tablets, capsules or liquids.

ADVANTAGE - The bioavailability of active agent is increased by administering the carrier compared to administration of active agent alone. The composition is particularly useful for active agents which would otherwise be destroyed or rendered less effective by conditions encountered before the active agent reaches its target zone.

Dwg.0/0

L31 ANSWER 42 OF 53 USPATFULL on STN

ACCESSION NUMBER: 1998:108514 USPATFULL

TITLE: Compounds and compositions for delivering active agents

INVENTOR(S): **Leone-Bay, Andrea**, Ridgefield, CT, United States
Wang, Eric, Yonkers, NY, United States
Sarubbi, Donald J., Bronxville, NY, United States
Leipold, Harry, Elmsford, NY, United States

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., Hawthorne, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5804688		19980908
APPLICATION INFO.:	US 1997-796339		19970207 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Geist, Gary
ASSISTANT EXAMINER: Davis, Brian J.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 1364
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Carrier compounds and compositions therewith which are useful in the delivery of active agents are provided. Methods of administration and preparation are provided as well.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L31 ANSWER 43 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 14
ACCESSION NUMBER: 1997:527636 CAPLUS
DOCUMENT NUMBER: 127:152958
TITLE: Modified amino acid carriers, their preparation, and compositions containing them for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**; Paton, Duncan R.; **Ho, Koc-Kan**; DeMorin, Frenel
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 231,622.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5643957	A	19970701	US 1994-335148	19941025
US 5451410	A	19950919	US 1993-51019	19930422
US 5792451	A	19980811	US 1994-205511	19940302
US 5629020	A	19970513	US 1994-231622	19940422
CA 2203033	AA	19960502	CA 1995-2203033	19951016
WO 9612473	A1	19960502	WO 1995-US13527	19951016
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9539633	A1	19960515	AU 1995-39633	19951016
AU 711887	B2	19991021		

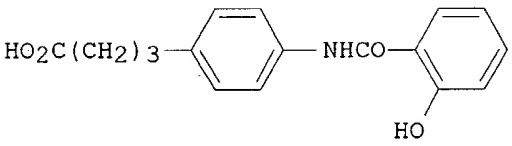
EP 783299	A1	19970716	EP 1995-937558	19951016
EP 783299	B1	20030910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9510168	A	19971014	BR 1995-10168	19951016
HU 77759	A2	19980728	HU 1998-903	19951016
JP 10507762	T2	19980728	JP 1995-514062	19951016
AT 249422	E	20030915	AT 1995-937558	19951016
US 5955503	A	19990921	US 1997-795833	19970206
US 6100298	A	20000808	US 1997-795837	19970206
NO 9701889	A	19970623	NO 1997-1889	19970424
FI 9701776	A	19970425	FI 1997-1776	19970425
US 2001003001	A1	20010607	US 2000-730156	20001205
AU 771024	B2	20040311	AU 2000-72261	20001214
AU 771434	B2	20040325	AU 2000-72260	20001214
US 2002120009	A1	20020829	US 2002-90012	20020221
US 6663887	B2	20031216		
US 2004068013	A1	20040408	US 2003-677906	20031001

PRIORITY APPLN. INFO.:

US 1993-51019	A2	19930422
US 1994-205511	A2	19940302
US 1994-231622	A2	19940422
WO 1994-US4560	A2	19940422
US 1994-335148	A	19941025
WO 1995-US13527	W	19951016
US 1997-795837	A1	19970206
AU 1998-62756	A3	19980206
US 1999-346970	A1	19990702
US 2000-730156	A1	20001205
US 2002-90012	A1	20020221

OTHER SOURCE(S): MARPAT 127:152958

GI



I

AB Modified amino acid compds. useful in the **delivery** of **active agents** (**peptides**, **carbohydrates**, antigens, monoclonal antibodies, **hormones**, pesticides, etc.) are provided. Methods of administration and preparation are also provided. The effect of a composition containing e.g. interferon-α2 and e.g. I (preparation given) on the serum interferon level was determined

L31 ANSWER 44 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN DUPLICATE 15

ACCESSION NUMBER: 2002:80753 BIOSIS
DOCUMENT NUMBER: PREV200200080753
TITLE: Compositions for oral delivery of active agents.
AUTHOR(S): Leone-Bay, A. [Inventor]; **Ho, K-K.**

[Inventor]; **Press, J. B.** [Inventor]
CORPORATE SOURCE: Ridgefield, Conn., USA
ASSIGNEE: EMISPHERE TECHNOLOGIES, INC.
PATENT INFORMATION: US 5650386 July 22, 1997
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (July 22, 1997) Vol. 1200, No. 4, pp. 2859. print.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Jan 2002
Last Updated on STN: 25 Feb 2002

L31 ANSWER 45 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 16
ACCESSION NUMBER: 1997:87 CAPLUS
DOCUMENT NUMBER: 126:31174
TITLE: Preparation of modified amino acid compounds for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea; Ho, Koc-Kan** ; Sarubbi, Donald J.; Milstein, Sam J.; **Press, Jeffery Bruce**
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA; Leone-Bay, Andrea; Ho, Koc-Kan; Sarubbi, Donald, J.; Milstein, Sam, J.; Press, Jeffery, Bruce
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	WO 9630036	A1	19961003	WO 1996-US4580	19960401
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
1	US 5650386	A	19970722	US 1995-414654	19950331
	CA 2214323	AA	19961003	CA 1996-2214323	19960401
	AU 9656629	A1	19961016	AU 1996-56629	19960401
	AU 712222	B2	19991104		
	EP 817643	A1	19980114	EP 1996-913778	19960401
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	BR 9604880	A	19980519	BR 1996-4880	19960401
	JP 2002506418	T2	20020226	JP 1996-529751	19960401
	RU 2203268	C2	20030427	RU 1997-118224	19960401
	JP 2003313157	A2	20031106	JP 2003-140962	19960401
2	US 5965121	A	19991012	US 1997-798023	19970206
3	US 5989539	A	19991123	US 1997-798032	19970206
	US 6001347	A	19991214	US 1997-798031	19970206
	FI 9703828	A	19970929	FI 1997-3828	19970929
	NO 9704495	A	19971128	NO 1997-4495	19970929

Searcher : Shears 571-272-2528

4	US 2001023240	A1	20010920	US 1999-305506	19990505
5	US 6428780	B2	20020806		
6	US 6346242	B1	20020212	US 2000-499958	20000208
	AU 771024	B2	20040311	AU 2000-72261	20001214
	AU 771434	B2	20040325	AU 2000-72260	20001214
7	US 2003045579	A1	20030306	US 2001-38426	20011019
8	US 6623731	B2	20030923		
9	US 2003078302	A1	20030424	US 2002-142009	20020508
10	US 6699467	B2	20040302		

PRIORITY APPLN. INFO.:

US 1995-414654 A2 19950331
US 1995-3111P P 19950901
US 1996-17902P P 19960329
JP 1996-529751 A3 19960401
WO 1996-US4580 W 19960401
US 1997-798031 A1 19970206
AU 1998-62756 A3 19980206
US 1999-305506 A1 19990505
US 2000-499958 A1 20000208

OTHER SOURCE(S): MARPAT 126:31174

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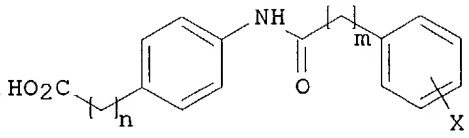

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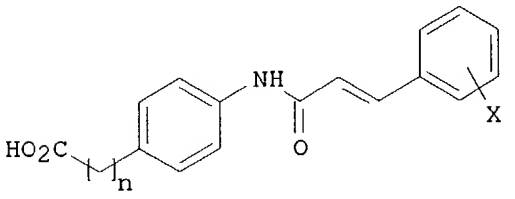
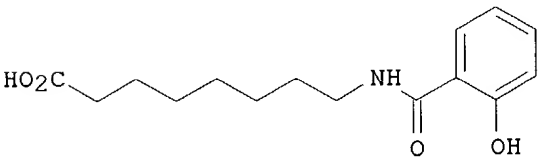


II



III

AB Modified amino acid compds. [I (n = 0-3; m = 0-4; X = H, halo, OH, etc.), II (n = 0-3; X = 2-F, 3-MeO, 4-Me, etc.), etc.], useful in the **delivery** of **active agents** such as, e.g., human growth **hormone**, interferon, heparin, calcitonin, parathyroid **hormone**, were prepared Thus, reaction of 8-aminocaprylic acid with O-acetylsalicyloyl chloride in the presence of 2M aqueous NaOH afforded 57% III which was mixed with recombinant growth hormone (rhGH) in a phosphate buffer solution at pH

7-8 and administered orally to rats at 25 mg/kg of carrier and at 1 mg/kg of rhGH. The mean peak serum level of compound III was 60.92 ng/mL as compared to < 10 ng/mL for control.

L31 ANSWER 46 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 17
ACCESSION NUMBER: 1996:425385 CAPLUS
DOCUMENT NUMBER: 125:96071
TITLE: Modified amino acids as absorption enhancers for delivering active agents
INVENTOR(S): **Leone-Bay, Andrea**; Paton, Duncan R.; **Ho, Kok-Kan**; Demorin, Frenel
PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 30
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9612473	A1	19960502	WO 1995-US13527	19951016
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5643957	A	19970701	US 1994-335148	19941025
AU 9539633	A1	19960515	AU 1995-39633	19951016
AU 711887	B2	19991021		
EP 783299	A1	19970716	EP 1995-937558	19951016
EP 783299	B1	20030910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9510168	A	19971014	BR 1995-10168	19951016
JP 10507762	T2	19980728	JP 1995-514062	19951016
AT 249422	E	20030915	AT 1995-937558	19951016
NO 9701889	A	19970623	NO 1997-1889	19970424
FI 9701776	A	19970425	FI 1997-1776	19970425
AU 771024	B2	20040311	AU 2000-72261	20001214
AU 771434	B2	20040325	AU 2000-72260	20001214

PRIORITY APPLN. INFO.:

US 1994-335148	A	19941025
US 1993-51019	A2	19930422
US 1994-205511	A2	19940302
US 1994-231622	A2	19940422
WO 1995-US13527	W	19951016
AU 1998-62756	A3	19980206

AB Modified amino acid compds. as absorption enhancers are useful in the delivery of active agents. These compound are used as carriers to facilitate the delivery of a cargo to a target. Thus, 47.00 g acetylsalicyloyl chloride was added to a mixture of 50.00 g 4-(4-aminophenyl)butyric acid in 300 mL of 2M aqueous sodium hydroxide and the reaction was stirred at 25° for 2 h, then it was acidified with aqueous HCl to obtain a precipitate which was separated and washed

to give 31.89 g 4-(2-hydroxyphenylcarbonylamino)p-phenylbutanoic acid (I). I was mixed with interferon α-2 (II) in Tris-HCl buffer pH = 7-8 and was orally administered to rats at a rate of 300 mg I/kg and 1000 μg II/kg. The mean peak serum level of II was 8213 as compared to 688 ng/mL for controls.

L31 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 18
ACCESSION NUMBER: 1996:352623 CAPLUS
DOCUMENT NUMBER: 125:114150
TITLE: A practical synthesis of ω-aminoalkanoic acid derivatives from cycloalkanones
AUTHOR(S): **Ho, Koc-Kan**; O'Toole, Doris C.; Achan, Douglas M.; Lim, Kitae T.; **Press, Jeffery B.**; Leone-Bay, Andrea
CORPORATE SOURCE: Emisphere Technol. Inc., Hawthorne, NY, 10532, USA
SOURCE: Synthetic Communications (1996), 26(14), 2641-2649
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A practical synthetic route to N-Boc protected or Boc-amino acid coupled ω-aminoalkanoic acids is reported and exemplified by the preparation of 8-(tert-butoxycarbonylamino)caprylic acid and (N-tert-butoxycarbonylphenylalanyl)-8-aminocaprylic acid. The sequence does not involve column chromatog., hydrogenation, azide or bromine related rearrangements, and therefore is amenable to scale-up. Homologs of the ω-aminoalkanoic acid derivs. may also be prepared by using different cycloalkanones.

L31 ANSWER 48 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:220822 CAPLUS
TITLE: Syntheses of 4-(4-salicyloylaminophenyl)butyric acid and 4-[4-(2-fluorocinnamylamino)phenyl]butyric acid
AUTHOR(S): **Ho, K. -K.**; Wang, N. -F.; Vuocolo, E. A.; Lercara, C.; O'Toole, D. C.; Achan, D. M.; **Press, J. B.**; Leone-Bay, A.
CORPORATE SOURCE: Emisphere Technologies Inc., Hawthorne, NY, 10532, USA
SOURCE: Book of Abstracts, 211th ACS National Meeting, New Orleans, LA, March 24-28 (1996), ORGN-217. American Chemical Society: Washington, D. C.
CODEN: 62PIAJ
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB As part of our oral drug delivery program, hundred grams of pure compds. 2 and 3 were required. These compds. were prepared from acetanilide 1 via Friedal-Craft acylation, followed by Wolff-Kishner reduction, and condensation with the corresponding acyl halides. The final coupling step in this sequence generated large amts. of the undesired oligomer 4 which was essentially impossible to sep. from the desired product on this scale. Herein we report conditions for suppression of this oligomeric material via a simultaneous, one-pot acid protection amine activation process.

L31 ANSWER 49 OF 53 SCISEARCH COPYRIGHT 2004 THOMSON ISI on STN
ACCESSION NUMBER: 96:280503 SCISEARCH
THE GENUINE ARTICLE: UA485
TITLE: SYNTHESIS OF 4-(4-SALICYLOYLAMINOPHENYL)BUTYRIC ACID AND 4-[4-(2-FLUOROCINNAMYLAMINO)PHENYL]BUTYRIC ACID
AUTHOR: **HO K K (Reprint)**; WANG N F; VUOCOLO E A; LERCARA C; OTOOLE D C; ACHAN D M; **PRESS J B** ; LEONEBAY A
CORPORATE SOURCE: EMISPHERE TECHNOL INC, HAWTHORNE, NY, 10532
COUNTRY OF AUTHOR: USA
SOURCE: ABSTRACTS OF PAPERS OF THE AMERICAN CHEMICAL SOCIETY (24 MAR 1996) Vol. 211, Part 2, pp. 217-ORGN. ISSN: 0065-7727.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: ENGLISH
REFERENCE COUNT: No References

L31 ANSWER 50 OF 53 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 1996:252714 BIOSIS
DOCUMENT NUMBER: PREV199698808843
TITLE: Syntheses of 4-(4-salicyloylaminophenyl)butyric acid and 4-(4-(2-fluorocinnamylamino)phenyl)butyric acid.
AUTHOR(S): **Ho, K.-K.**; Wang, N.-F.; Vuocolo, E. A.; Lercara, C.; O'Toole, D. C.; Achan, D. M.; **Press, J. B.**; Leona-Bay, A.
CORPORATE SOURCE: Emisphere Technologies Inc., 15 Skyline Dr., Hawthorne, NY 10532, USA
SOURCE: Abstracts of Papers American Chemical Society, (1996) Vol. 211, No. 1-2, pp. ORGN 217.
Meeting Info.: 211th American Chemical Society National Meeting. New Orleans, Louisiana, USA. March 24-28, 1996.
CODEN: ACSRAL. ISSN: 0065-7727.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 31 May 1996
Last Updated on STN: 31 May 1996

L31 ANSWER 51 OF 53 JAPIO (C) 2004 JPO on STN
ACCESSION NUMBER: 2003-313157 JAPIO
TITLE: COMPOUND AND COMPOSITION FOR DELIVERING ACTIVE AGENT
INVENTOR: **LEONE-BAY ANDREA; HO KOC-KAN** ; SARUBBI DONALD J; MILSTEIN SAM J; **PRESS JEFFERY BRUCE**
PATENT ASSIGNEE(S): EMISPHERE TECHNOLGIES INC
PATENT INFORMATION:

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 2003313157	A	20031106	Heisei	C07C229-42

APPLICATION INFORMATION

STN FORMAT: JP 2003-140962 19960401
ORIGINAL: JP2003140962 Heisei
PRIORITY APPLN. INFO.: US 1995-414654 19950331
PRIORITY APPLN. INFO.: US 1995-3111 19950901
SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined Applications, Vol. 2003

AN 2003-313157 JAPIO
AB PROBLEM TO BE SOLVED: To provide a simple and readily prepared system technique being not expensive and capable of delivering an active agent in a wide range.
SOLUTION: A modified amino acid compound useful in the **delivery** of the **active agent** is provided. The active agent can be a **peptide** such as rhGH. Administration methods such as oral, subcutaneous, sublingual and intranasal administration, are provided. A method for preparation of the modified amino acid compound is also provided.
COPYRIGHT: (C)2004,JPO

L31 ANSWER 52 OF 53 JAPIO (C) 2004 JPO on STN
ACCESSION NUMBER: 2001-139494 JAPIO
TITLE: COMPOSITION FOR DELIVERING COMPOUND AND ACTIVATOR
INVENTOR: LEONE-BAY ANDREA; **HO KOC-KAN**; LEIPOLD HARRY R; MILSTEIN SAM J; SARUBBI DONALD J; **WANG ERIC**; DAVID GUSHUNAIDAA
PATENT ASSIGNEE(S): EMISPHERE TECHNOLGIES INC
PATENT INFORMATION:

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 2001139494	A	20010522	Heisei	A61K047-16

APPLICATION INFORMATION
STN FORMAT: JP 2000-311230 19980206
ORIGINAL: JP2000311230 Heisei
PRIORITY APPLN. INFO.: US 1997-796336 19970207
PRIORITY APPLN. INFO.: US 1997-796340 19970207
PRIORITY APPLN. INFO.: US 1997-796338 19970207
PRIORITY APPLN. INFO.: US 1997-797813 19970207
PRIORITY APPLN. INFO.: US 1997-797816 19970207
PRIORITY APPLN. INFO.: US 1997-797820 19970207
PRIORITY APPLN. INFO.: US 1997-797100 19970207
PRIORITY APPLN. INFO.: US 1997-796337 19970207
PRIORITY APPLN. INFO.: US 1997-796334 19970207
PRIORITY APPLN. INFO.: US 1997-796341 19970207
PRIORITY APPLN. INFO.: US 1997-796339 19970207
PRIORITY APPLN. INFO.: US 1997-797817 19970207
PRIORITY APPLN. INFO.: US 1997-796335 19970207
SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined Applications, Vol. 2001

AN 2001-139494 JAPIO
AB PROBLEM TO BE SOLVED: To provide a carrier compound and a composition thereof for delivering activators, the administrations including the oral route and the like, and the preparation method thereof.
SOLUTION: The objective composition comprises (A) at least one of

activator and (B) a compound represented by formula (1) or the salts thereof and the single dose unit including the composition. The activation agent includes at least peptide, muco-polysaccharide, carbohydrate or lipid. For exarnple, it is selected from the group consisting of human growth hormone, bovine growth hormone, growth hormone-releasing hormone, interferons, interleukin-I, interleukin-II, insulin, heparin, low molecular-weight heparin, calcitonin, erythropoietin, atrial natriuretic polypeptide, antigens, monoclonal antibodies, somatostatin, somatostatin, adenocorticotropin, gonadotropin-releasing hormone, oxytocin, vasopressin, cromolyn sodium, vancomycin, deferoxamine, parathyroid hormone and their combinations.

L31 ANSWER 53 OF 53 JAPIO (C) 2004 JPO on STN
ACCESSION NUMBER: 2001-131090 JAPIO
TITLE: COMPOSITION FOR DELIVERING COMPOUND AND ACTIVATOR
INVENTOR: LEONE-BAY ANDREA; **HO KOC-KAN**; LEIPOLD HARRY R; MILSTEIN SAM J; SARUBBI DONALD J; **WANG ERIC**; DAVID GUSHUNAIDAA
PATENT ASSIGNEE(S): EMISPHERE TECHNOLGIES INC
PATENT INFORMATION:

PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 2001131090	A	20010515	Heisei	A61K045-06

APPLICATION INFORMATION

STN FORMAT:	JP 2000-311231	19980206
ORIGINAL:	JP2000311231	Heisei
PRIORITY APPLN. INFO.:	US 1997-796336	19970207
PRIORITY APPLN. INFO.:	US 1997-796340	19970207
PRIORITY APPLN. INFO.:	US 1997-796338	19970207
PRIORITY APPLN. INFO.:	US 1997-797813	19970207
PRIORITY APPLN. INFO.:	US 1997-797816	19970207
PRIORITY APPLN. INFO.:	US 1997-797820	19970207
PRIORITY APPLN. INFO.:	US 1997-797100	19970207
PRIORITY APPLN. INFO.:	US 1997-796337	19970207
PRIORITY APPLN. INFO.:	US 1997-796334	19970207
PRIORITY APPLN. INFO.:	US 1997-796341	19970207
PRIORITY APPLN. INFO.:	US 1997-796339	19970207
PRIORITY APPLN. INFO.:	US 1997-797817	19970207
PRIORITY APPLN. INFO.:	US 1997-796335	19970207

SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined Applications, Vol. 2001

AN 2001-131090 JAPIO
AB PROBLEM TO BE SOLVED: To obtain a carrier compound and a composition effective for delivering an activator and to provide an administration method including an oral administration and a preparation method.
SOLUTION: This composition contains (A) at least one activator and (B) a compound of the formula or its salt. This administration unit form contains the composition.

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Searcher : Shears 571-272-2528